

## F. . . ENT COOPERATION TREATY

From the INTERNATIONAL BUREAU

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

Date of mailing (day/month/year)  
21 April 1999 (21.04.99)

To:

United States Patent and Trademark  
Office  
(Box PCT)  
Crystal Plaza 2  
Washington, DC 20231  
ÉTATS-UNIS D'AMÉRIQUE

in its capacity as elected Office

International application No.  
PCT/JP98/03980

Applicant's or agent's file reference  
1082

International filing date (day/month/year)  
04 September 1998 (04.09.98)

Priority date (day/month/year)  
05 September 1997 (05.09.97)

## Applicant

SHIMADA, Junichi et al

1. The designated Office is hereby notified of its election made:

in the demand filed with the International Preliminary Examining Authority on:

31 March 1999 (31.03.99)

in a notice effecting later election filed with the International Bureau on:

\_\_\_\_\_

2. The election  was

was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Authorized officer

Sean Taylor

Facsimile No.: (41-22) 740.14.35

Telephone No.: (41-22) 338.83.38



# PCT

## REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

For receiving Office use only

International Application No.

International Filing Date

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference  
(if desired) (12 characters maximum)

1082

### Box No. I TITLE OF INVENTION

THERAPEUTIC AGENT FOR NEURODEGENERATIVE DISORDERS

### Box No. II APPLICANT

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

Kyowa Hakko Kogyo Co., Ltd.

6-1, Otemachi 1-chome, Chiyoda-ku, Tokyo 100-8185, Japan

This person is also inventor.

Telephone No.

03-3282-0036

Faxsimile No.

03-3282-1527

Teleprinter No.

State (that is, country) of nationality:

JP

State (that is, country) of residence:

JP

This person is applicant  all designated States  all designated States except the United States of America  the United States of America only  the States indicated in the Supplemental Box for the purposes of:

### Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

SHIMADA Junichi

Royal Hills Nibankan #305, 98-1, Nameri, Nagaizumi-cho, Sunto-gun, Shizuoka 411-0933 Japan

This person is:

applicant only

applicant and inventor

inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

JP

State (that is, country) of residence:

JP

This person is applicant  all designated States  all designated States except the United States of America  the United States of America only  the States indicated in the Supplemental Box for the purposes of:

Further applicants and/or (further) inventors are indicated on a continuation sheet.

### Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as:

agent

common representative

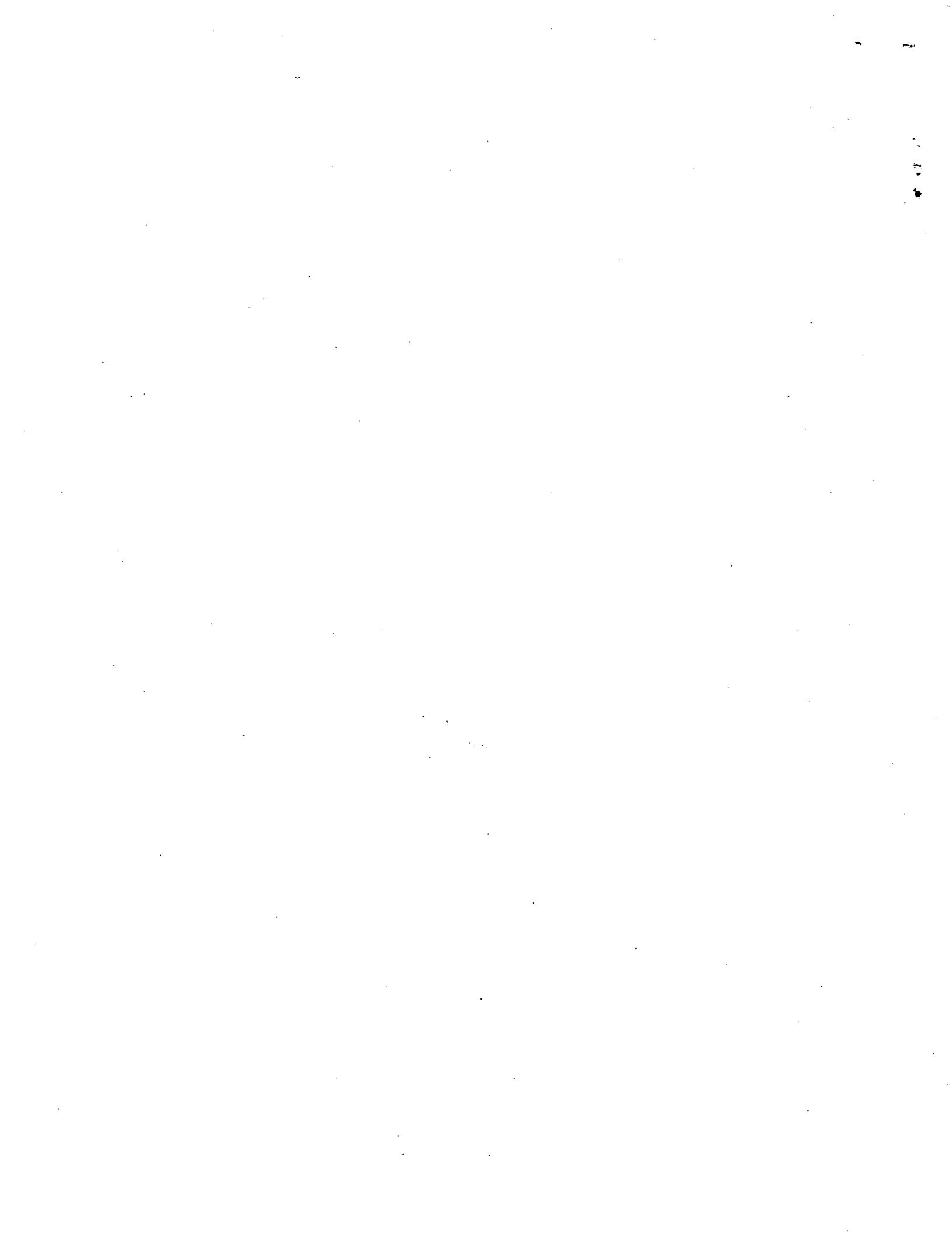
Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)

Telephone No.

Faxsimile No.

Teleprinter No.

Address for correspondence: Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.



## Continuation of Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

*If none of the following sub-boxes is used, this sheet should not be included in the request.*

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

KUROKAWA Masako

656-18, Yasuhisa, Mishima-shi, Shizuoka 411-0815 Japan

This person is:

- applicant only  
 applicant and inventor  
 inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

JP

State (that is, country) of residence:

JP

This person is applicant for the purposes of:

- all designated States     all designated States except the United States of America     the United States of America only     the States indicated in the Supplemental Box

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

IKEDA Ken

Kureru Takehara #211, 336-1, Takehara, Nagaizumi-cho, Sunto-gun, Shizuoka 411-0944 Japan

This person is:

- applicant only  
 applicant and inventor  
 inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

JP

State (that is, country) of residence:

JP

This person is applicant for the purposes of:

- all designated States     all designated States except the United States of America     the United States of America only     the States indicated in the Supplemental Box

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

SUZUKI Fumio

18-4, Fujimidai, Mishima-shi, Shizuoka 411-0021 Japan

This person is:

- applicant only  
 applicant and inventor  
 inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

JP

State (that is, country) of residence:

JP

This person is applicant for the purposes of:

- all designated States     all designated States except the United States of America     the United States of America only     the States indicated in the Supplemental Box

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

KUWANA Yoshihisa

1064-8, Shimotogari, Nagaizumi-cho, Sunto-gun, Shizuoka 411-0943 Japan

This person is:

- applicant only  
 applicant and inventor  
 inventor only (If this check-box is marked, do not fill in below.)

State (that is, country) of nationality:

JP

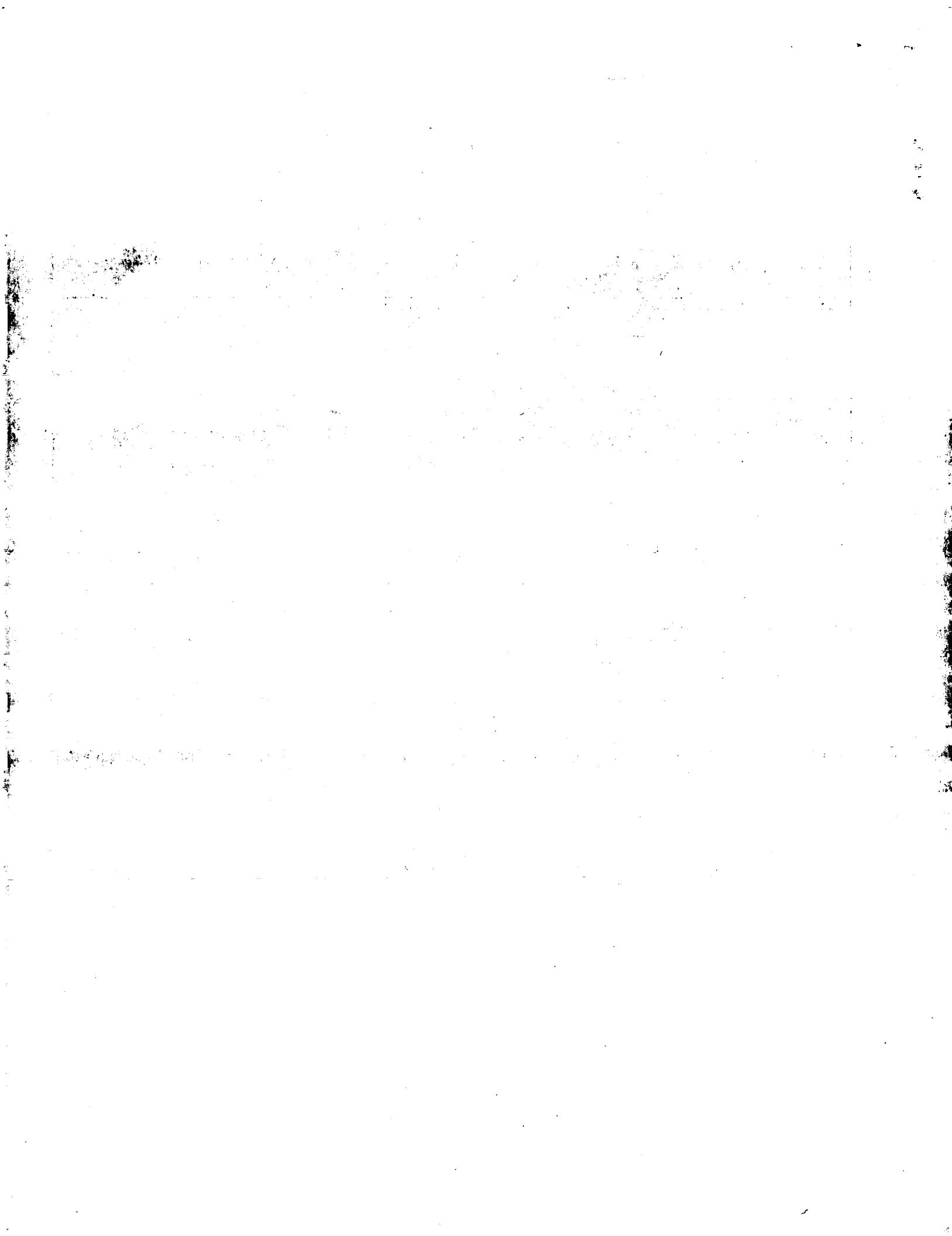
State (that is, country) of residence:

JP

This person is applicant for the purposes of:

- all designated States     all designated States except the United States of America     the United States of America only     the States indicated in the Supplemental Box

 Further applicants and/or (further) inventors are indicated on another continuation sheet.



**Box No. V DESIGNATION OF STATES**

The following designations are hereby made under Rule 4.9(a) (mark the applicable check-boxes; at least one must be marked):

Regional Patent

- AP** **ARIPO Patent:** GH Ghana, GM Gambia, KE Kenya, LS Lesotho, MW Malawi, SD Sudan, SZ Swaziland, UG Uganda, ZW Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT

**EA** **Eurasian Patent:** AM Armenia, AZ Azerbaijan, BY Belarus, KG Kyrgyzstan, KZ Kazakhstan, MD Republic of Moldova, RU Russian Federation, TJ Tajikistan, TM Turkmenistan, and any other State which is a Contracting State of the Eurasian Patent Convention and of the PCT

**EP** **European Patent:** AT Austria, BE Belgium, CH and LI Switzerland and Liechtenstein, CY Cyprus, DE Germany, DK Denmark, ES Spain, FI Finland, FR France, GB United Kingdom, GR Greece, IE Ireland, IT Italy, LU Luxembourg, MC Monaco, NL Netherlands, PT Portugal, SE Sweden, and any other State which is a Contracting State of the European Patent Convention and of the PCT

**OA** **OAPI Patent:** BF Burkina Faso, BJ Benin, CF Central African Republic, CG Congo, CI Côte d'Ivoire, CM Cameroon, GA Gabon, GN Guinea, ML Mali, MR Mauritania, NE Niger, SN Senegal, TD Chad, TG Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT (*if other kind of protection or treatment desired, specify on dotted line*)

**National Patent (if other kind of protection or treatment desired, specify on dotted line):**

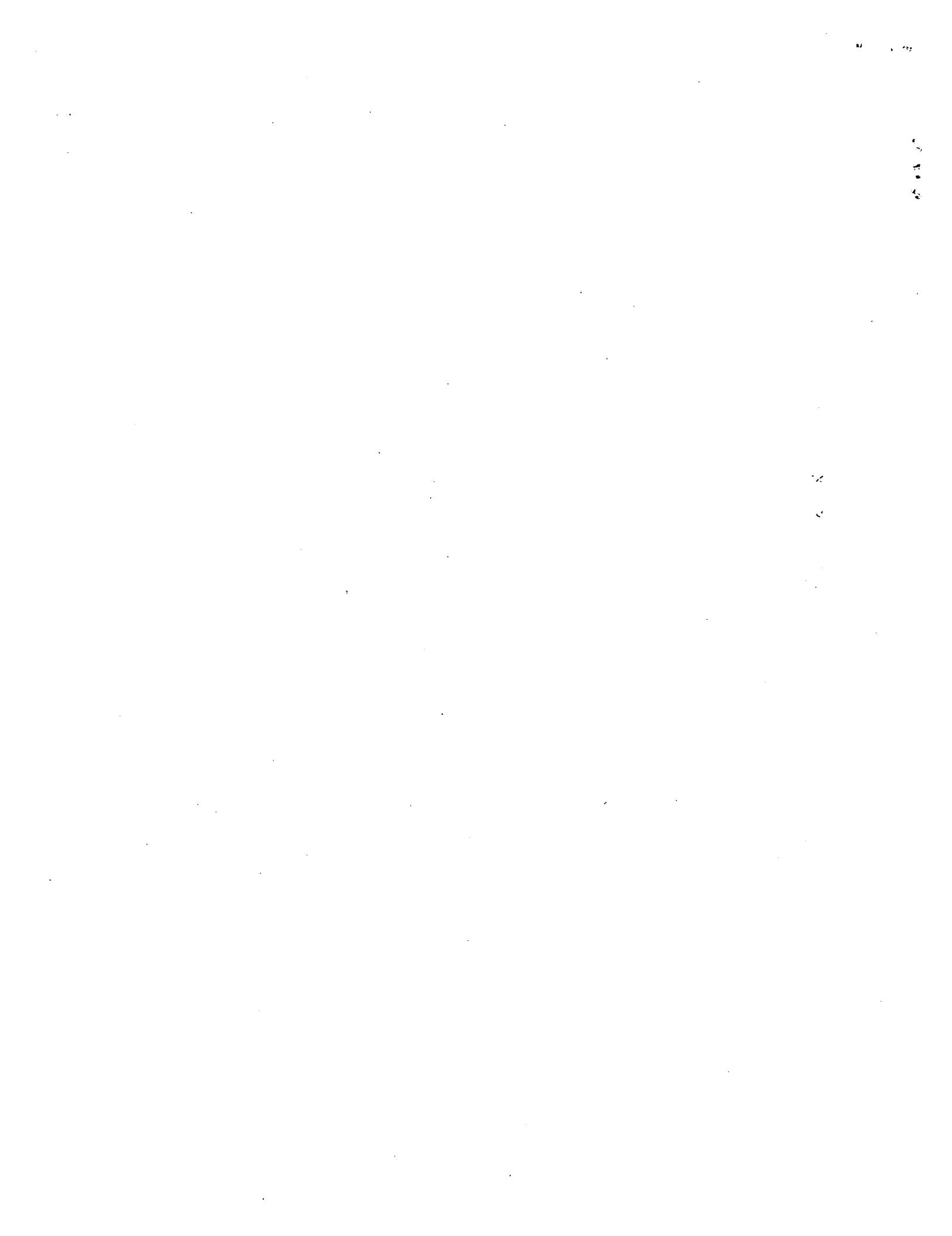
- |  |   |
|--|---|
| <input type="checkbox"/> AL                | Albania                                   |
| <input type="checkbox"/> AM                | Armenia                                   |
| <input type="checkbox"/> AT                | Austria                                   |
| <input checked="" type="checkbox"/> AU     | Australia                                 |
| <input type="checkbox"/> AZ                | Azerbaijan                                |
| <input type="checkbox"/> BA                | Bosnia and Herzegovina                    |
| <input type="checkbox"/> BB                | Barbados                                  |
| <input checked="" type="checkbox"/> BG     | Bulgaria                                  |
| <input checked="" type="checkbox"/> BR     | Brazil                                    |
| <input checked="" type="checkbox"/> BY     | Belarus                                   |
| <input type="checkbox"/> CA                | Canada                                    |
| <input type="checkbox"/> CH and LI         | Switzerland and Liechtenstein             |
| <input checked="" type="checkbox"/> CN     | China                                     |
| <input type="checkbox"/> CU                | Cuba                                      |
| <input checked="" type="checkbox"/> CZ     | Czech Republic                            |
| <input type="checkbox"/> DE                | Germany                                   |
| <input type="checkbox"/> DK                | Denmark                                   |
| <input type="checkbox"/> EE                | Estonia                                   |
| <input type="checkbox"/> ES                | Spain                                     |
| <input type="checkbox"/> FI                | Finland                                   |
| <input type="checkbox"/> GB                | United Kingdom                            |
| <input type="checkbox"/> GE                | Georgia                                   |
| <input type="checkbox"/> GH                | Ghana                                     |
| <input type="checkbox"/> GM                | Gambia                                    |
| <input type="checkbox"/> GW                | Guinea-Bissau                             |
| <input type="checkbox"/> HR                | Croatia                                   |
| <input checked="" type="checkbox"/> HU     | Hungary                                   |
| <input type="checkbox"/> ID                | Indonesia                                 |
| <input checked="" type="checkbox"/> IL     | Israel                                    |
| <input type="checkbox"/> IS                | Iceland                                   |
| <input checked="" type="checkbox"/> JP     | Japan                                     |
| <input type="checkbox"/> KE                | Kenya                                     |
| <input type="checkbox"/> KG                | Kyrgyzstan                                |
| <input type="checkbox"/> KP                | Democratic People's Republic of Korea     |
| <br><input checked="" type="checkbox"/> KR | Republic of Korea                         |
| <input type="checkbox"/> KZ                | Kazakhstan                                |
| <input type="checkbox"/> LC                | Saint Lucia                               |
| <input type="checkbox"/> LK                | Sri Lanka                                 |
| <input type="checkbox"/> LR                | Liberia                                   |
| <br><input type="checkbox"/> LS            | Lesotho                                   |
| <input type="checkbox"/> LT                | Lithuania                                 |
| <input type="checkbox"/> LU                | Luxembourg                                |
| <input type="checkbox"/> LV                | Latvia                                    |
| <input type="checkbox"/> MD                | Republic of Moldova                       |
| <input type="checkbox"/> MG                | Madagascar                                |
| <input type="checkbox"/> MK                | The former Yugoslav Republic of Macedonia |
| <br><input type="checkbox"/> MN            | Mongolia                                  |
| <input type="checkbox"/> MW                | Malawi                                    |
| <input checked="" type="checkbox"/> MX     | Mexico                                    |
| <input checked="" type="checkbox"/> NO     | Norway                                    |
| <input checked="" type="checkbox"/> NZ     | New Zealand                               |
| <input checked="" type="checkbox"/> PL     | Poland                                    |
| <input type="checkbox"/> PT                | Portugal                                  |
| <input checked="" type="checkbox"/> RO     | Romania                                   |
| <input type="checkbox"/> RU                | Russian Federation                        |
| <input type="checkbox"/> SD                | Sudan                                     |
| <input type="checkbox"/> SE                | Sweden                                    |
| <input checked="" type="checkbox"/> SG     | Singapore                                 |
| <input checked="" type="checkbox"/> SI     | Slovenia                                  |
| <input checked="" type="checkbox"/> SK     | Slovakia                                  |
| <input type="checkbox"/> SL                | Sierra Leone                              |
| <input type="checkbox"/> TJ                | Tajikistan                                |
| <input type="checkbox"/> TM                | Turkmenistan                              |
| <input type="checkbox"/> TR                | Turkey                                    |
| <input type="checkbox"/> TT                | Trinidad and Tobago                       |
| <input checked="" type="checkbox"/> UA     | Ukraine                                   |
| <input type="checkbox"/> UG                | Uganda                                    |
| <input checked="" type="checkbox"/> US     | United States of America                  |
| <br><input type="checkbox"/> UZ            | Uzbekistan                                |
| <input checked="" type="checkbox"/> VN     | Viet Nam                                  |
| <input type="checkbox"/> YU                | Yugoslavia                                |
| <input type="checkbox"/> ZW                | Zimbabwe                                  |

Check-boxes reserved for designating States (for the purposes of a national patent) which have become party to the PCT at issuance of this sheet:

<input type="checkbox"/>	.....
<input type="checkbox"/>	.....

Check-boxes reserved for designating States (for the purposes of a national patent) which have become party to the PCT after issuance of this sheet:

**Precautionary Designation Statement:** In addition to the designations made above, the applicant also makes under Rule 4.9(b) all other designations which would be permitted under the PCT except any designation(s) indicated in the Supplemental Box as being excluded from the scope of this statement. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit. (*Confirmation of a designation consists of the filing of a notice specifying that designation and the payment of the designation and confirmation fees. Confirmation must reach the receiving Office within the 15-month time limit.*)



Box No. VI PRIORITY CLAIM		<input type="checkbox"/> Further priority claims are indicated in the Supplemental Box.		
Filing date of earlier application (day/month/year)	Number of earlier application	Where earlier application is:		
		national application: country	regional application: regional Office	international application: receiving Office
item (1) 05.09.97	Patent Application 9-240565	JP		
item (2)				
item (3)				

The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of the present international application is the receiving Office) identified above as item(s): (1)

\* Where the earlier application is an ARPO application, it is mandatory to indicate in the Supplemental Box at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed (Rule 4.10(b)(ii)). See Supplemental Box.

#### Box No. VII INTERNATIONAL SEARCHING AUTHORITY

Choice of International Searching Authority (ISA) (if two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used):	Request to use results of earlier search; reference to that search (if an earlier search has been carried out by or requested from the International Searching Authority):		
	Date (day/month/year)	Number	Country (or regional Office)
ISA / JP			

#### Box No. VIII CHECK LIST; LANGUAGE OF FILING

This international application contains the following number of sheets:	This international application is accompanied by the item(s) marked below:
request : 4	1. <input checked="" type="checkbox"/> fee calculation sheet
description (excluding sequence listing part) : 12	2. <input type="checkbox"/> separate signed power of attorney
claims : 2	3. <input type="checkbox"/> copy of general power of attorney; reference number, if any:
abstract : 1	4. <input type="checkbox"/> statement explaining lack of signature
drawings : 0	5. <input type="checkbox"/> priority document(s) identified in Box No. VI as item(s):
sequence listing part of description : 0	6. <input type="checkbox"/> translation of international application into (language):
Total number of sheets : 19	7. <input type="checkbox"/> separate indications concerning deposited microorganism or other biological material
	8. <input type="checkbox"/> nucleotide and/or amino acid sequence listing in computer readable form
	9. <input checked="" type="checkbox"/> other (specify): Request for transmittal of priority document

Figure of the drawings which should accompany the abstract: Language of filing of the international application: Japanese

#### Box No. IX SIGNATURE OF APPLICANT OR AGENT

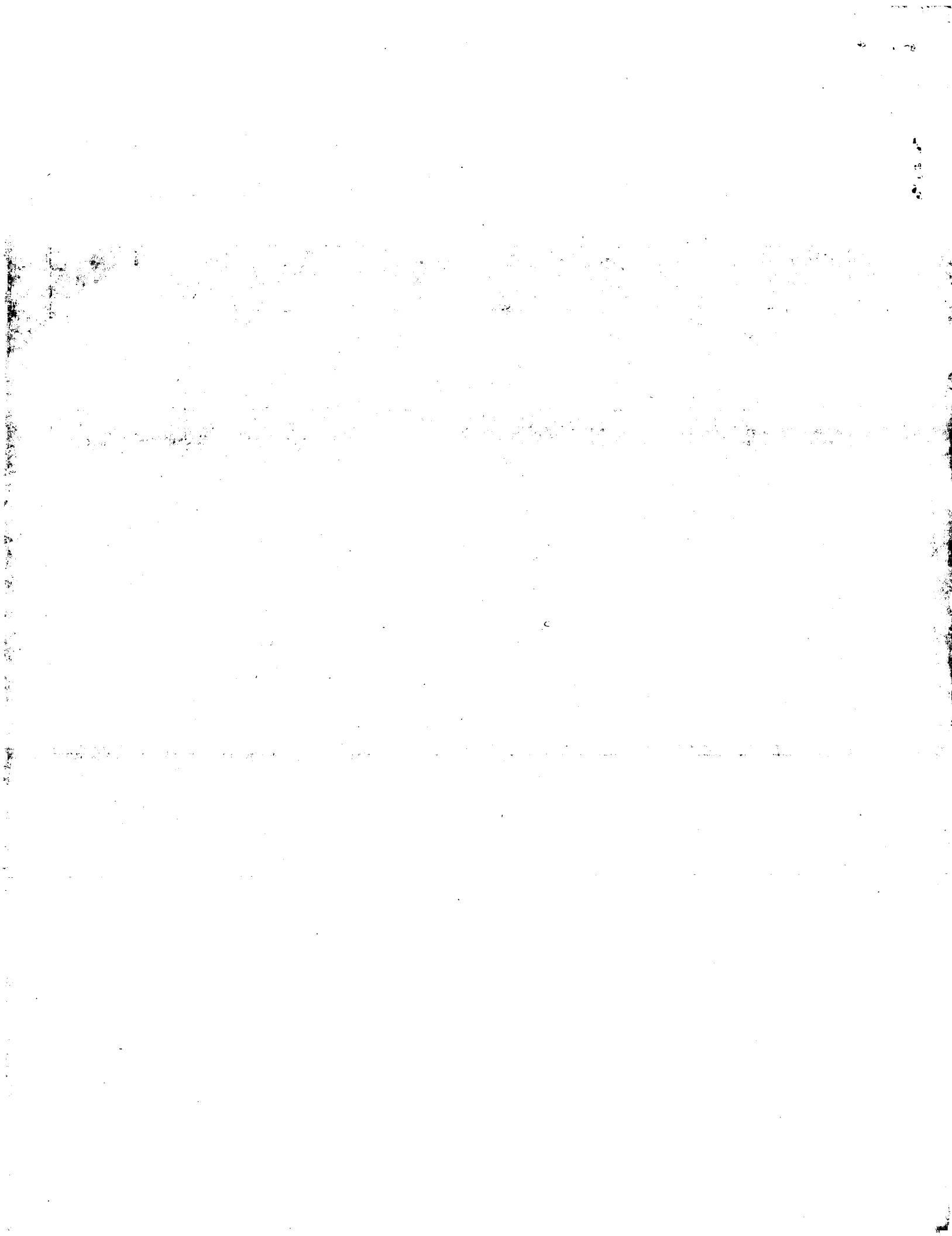
Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request).

Kyowa Hakko Kogyo Co., Ltd. KUROKAWA Masako SUZUKI Fumio

SHIMADA Junichi IKEDA Ken KUWANA Yoshihisa

For receiving Office use only			2. Drawings:  <input type="checkbox"/> received:  <input type="checkbox"/> not received:
1. Date of actual receipt of the purported international application:	3. Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application:	4. Date of timely receipt of the required corrections under PCT Article 11(2):	
5. International Searching Authority (if two or more are competent): ISA / JP	6. <input type="checkbox"/> Transmittal of search copy delayed until search fee is paid.		

For International Bureau use only	
Date of receipt of the record copy by the International Bureau:	



## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP98/03980

## A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl<sup>6</sup> A61K31/52 // C07D473/04, C07D473/20, C07D473/22

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl<sup>6</sup> A61K31/52, C07D473/04, C07D473/20, C07D473/22

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CAplus (STN), REGISTRY (STN)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP, 2613355, B2 (Kyowa Hakko Kogyo Co., Ltd.), 27 February, 1997 (27. 02. 97) & EP, 590919, A1 & NO, 9303452, A & CA, 2107014, A & US, 5484920, A & US, 5587378, A & BR, 1100971, A3	1-3, 5
X	JP, 2613352, B2 (Kyowa Hakko Kogyo Co., Ltd.), 27 February, 1997 (27. 02. 97) & EP, 565377, A1 & NO, 9301317, A & CA, 2093403, A & US, 5484920, A & US, 5587378, A & DE, 69316067, E & ES, 2112386, T3 & BR, 1100636, A3	1-3, 5
X	JP, 6-239862, A (Kyowa Hakko Kogyo Co., Ltd.), 30 August, 1994 (30. 08. 94) & EP, 607607, A1 & NO, 9304792, A & CA, 2112031, A & DE, 69304883, E & US, 5670498, A	1-3, 5

 Further documents are listed in the continuation of Box C.  See patent family annex.

- \* Special categories of cited documents:
- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

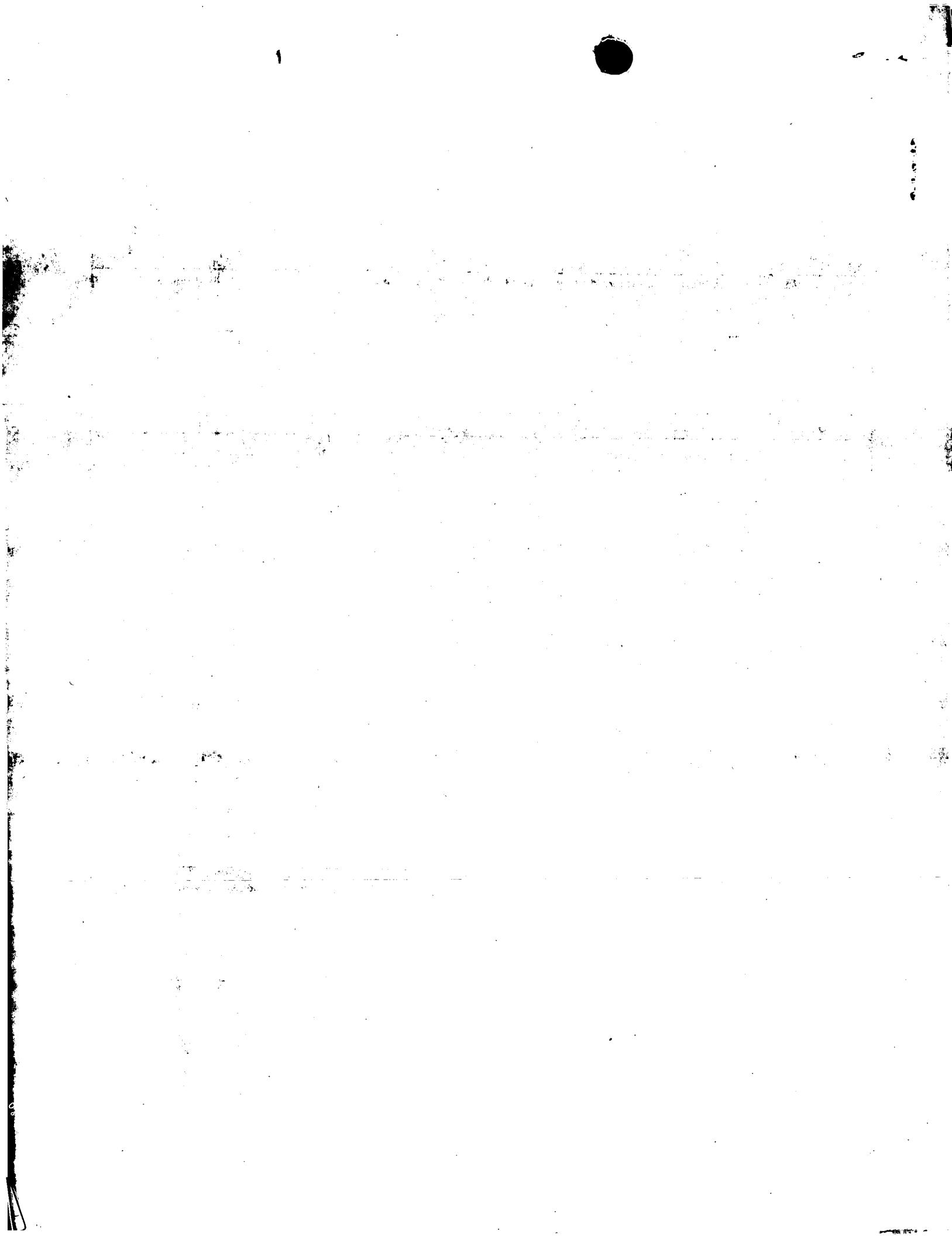
- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- "&" document member of the same patent family

Date of the actual completion of the international search  
25 November, 1998 (25. 11. 98)Date of mailing of the international search report  
8 December, 1998 (08. 12. 98)Name and mailing address of the ISA/  
Japanese Patent Office

Authorized officer

Facsimile No.

Telephone No.



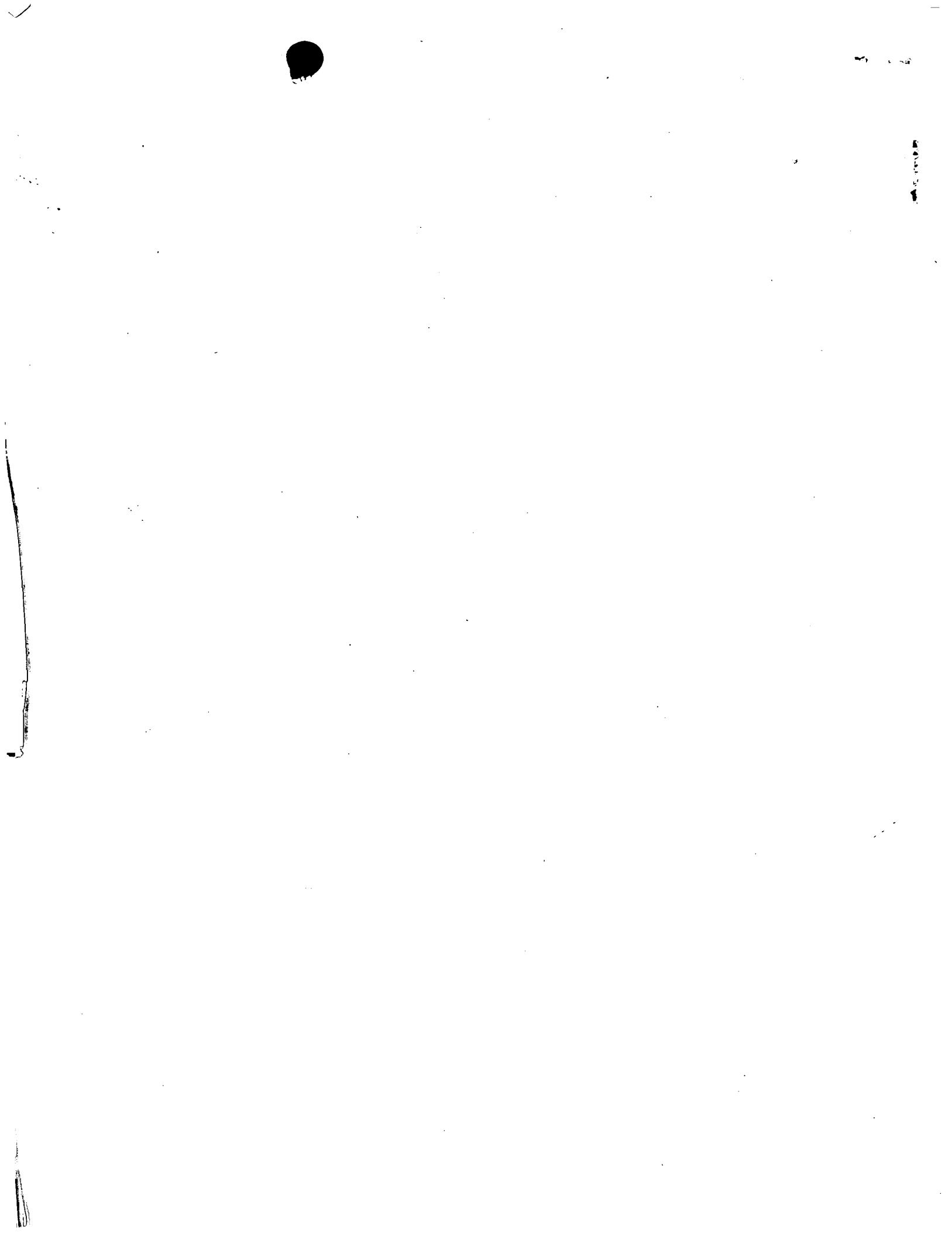
## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP98/03980

## C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP, 6-47539, B2 (Adir et Co.), 22 June, 1994 (22. 06. 94) & EP, 470317, A & FR, 2665636, A & PT, 95467, A & AU, 9063228, A & US, 5173491, A & DE, 69008853, E & ES, 2056415, T3 & IE, 65158, B & CA, 2026118, A	1-3, 5
X	US, 4772607, A (Warner-Lambert Company), 20 September, 1988 (20. 09. 88) (Family: none)	1-3, 5



## 特許協力条約

PCT

EP US

## 国際調査報告

(法8条、法施行規則第40、41条)  
〔PCT18条、PCT規則43、44〕

出願人又は代理人 の書類記号 1082	今後の手続きについては、国際調査報告の送付通知様式(PCT/ISA/220)及び下記5を参照すること。	
国際出願番号 PCT/JP98/03980	国際出願日 (日.月.年) 04.09.98	優先日 (日.月.年) 05.09.97
出願人(氏名又は名称) 協和醸酵工業株式会社		

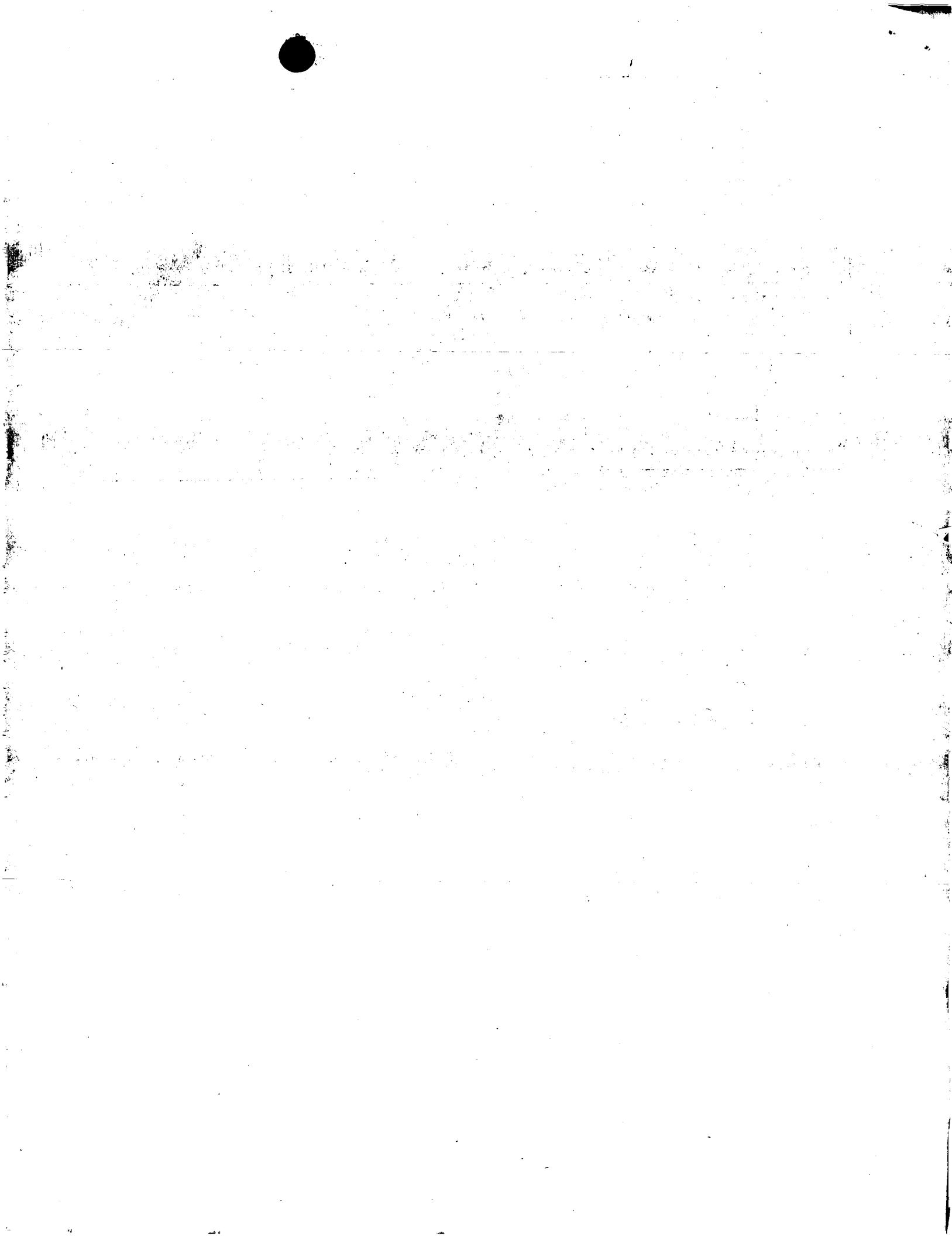
国際調査機関が作成したこの国際調査報告を法施行規則第41条(PCT18条)の規定に従い出願人に送付する。この写しは国際事務局にも送付される。

この国際調査報告は、全部で 4 ページである。

- この調査報告に引用された先行技術文献の写しも添付されている。
1.  請求の範囲の一部の調査ができない(第I欄参照)。
  2.  発明の單一性が欠如している(第II欄参照)。
  3.  この国際出願は、ヌクレオチド及び/又はアミノ酸配列リストを含んでおり、次の配列リストに基づき国際調査を行った。
    - この国際出願と共に提出されたもの
    - 出願人がこの国際出願とは別に提出したもの
      - しかし、出願時の国際出願の開示の範囲を越える事項を含まない旨を記載した書面が添付されていない
    - この国際調査機関が書換えたもの
  4. 発明の名称は  出願人が提出したものを承認する。
    - 次に示すように国際調査機関が作成した。

---

  5. 要約は  出願人が提出したものを承認する。
    - 第III欄に示されているように、法施行規則第47条(PCT規則38.2(b))の規定により国際調査機関が作成した。出願人は、この国際調査報告の発送の日から1カ月以内にこの国際調査機関に意見を提出することができる。
  6. 要約書とともに公表される図は、  
第 \_\_\_\_\_ 図とする。  出願人が示したとおりである。  なし
    - 出願人は図を示さなかった。
    - 本図は発明の特徴を一層よく表している。



## 第一欄 請求の範囲の一部の調査ができないときの意見（第1ページの1の続き）

法第8条第3項（PCT第17条(2)(a)）の規定により、この国際調査報告は次の理由により請求の範囲の一部について作成しなかった。

1.  請求の範囲 4 は、この国際調査機関が調査をすることを要しない対象に係るものである。  
つまり、  
    請求の範囲 4 は、人の治療による処置方法の発明に該当する。
2.  請求の範囲 \_\_\_\_\_ は、有意義な国際調査をすることができる程度まで所定の要件を満たしていない国際出願の部分に係るものである。つまり、
3.  請求の範囲 \_\_\_\_\_ は、従属請求の範囲であって PCT規則6.4(a)の第2文及び第3文の規定に従って記載されていない。

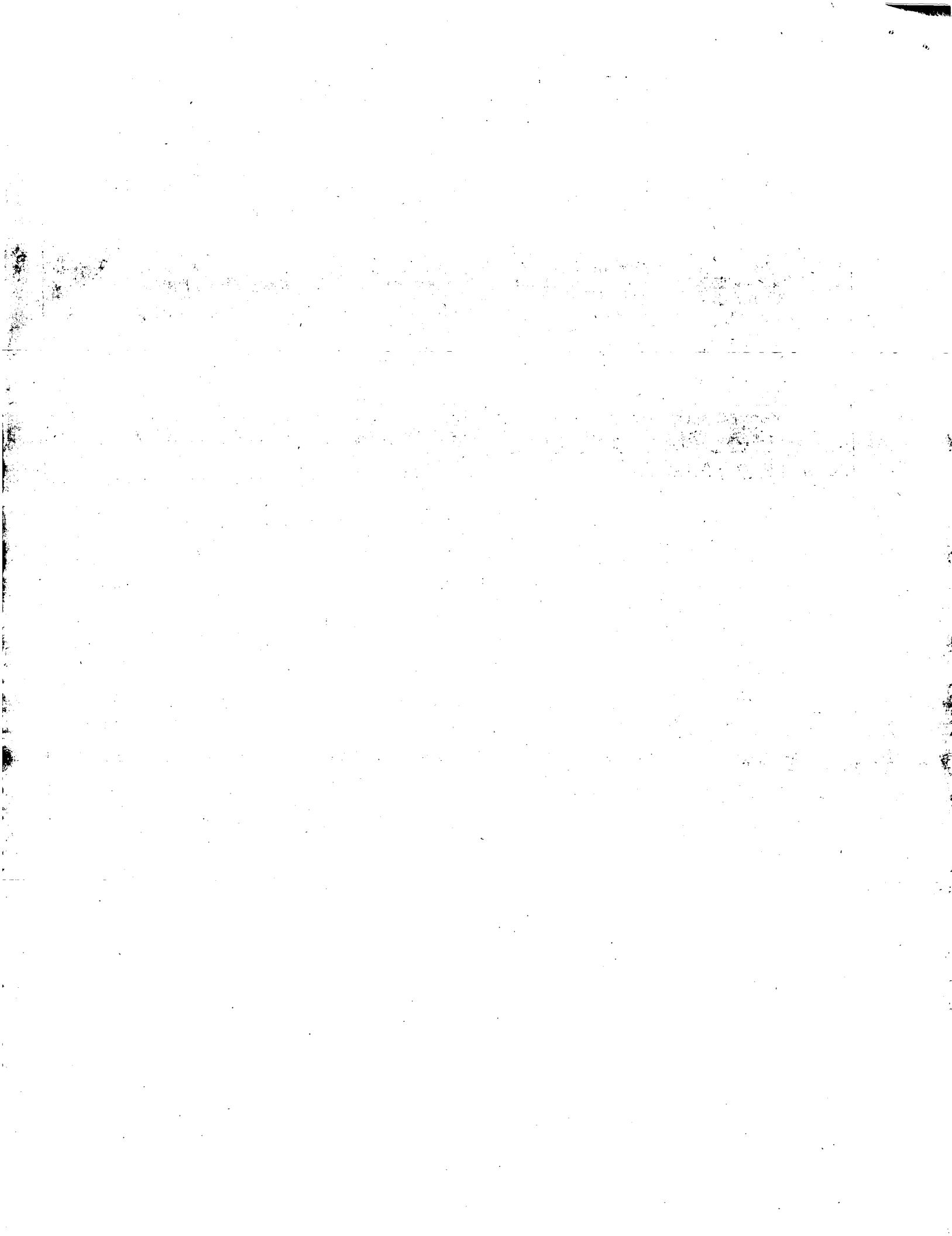
## 第二欄 発明の単一性が欠如しているときの意見（第1ページの2の続き）

次に述べるようにこの国際出願に二以上の発明があるとこの国際調査機関は認めた。

1.  出願人が必要な追加調査手数料をすべて期間内に納付したので、この国際調査報告は、すべての調査可能な請求の範囲について作成した。
2.  追加調査手数料を要求するまでもなく、すべての調査可能な請求の範囲について調査することができたので、追加調査手数料の納付を求めなかった。
3.  出願人が必要な追加調査手数料を一部のみしか期間内に納付しなかったので、この国際調査報告は、手数料の納付のあった次の請求の範囲のみについて作成した。
4.  出願人が必要な追加調査手数料を期間内に納付しなかったので、この国際調査報告は、請求の範囲の最初に記載されている発明に係る次の請求の範囲について作成した。

## 追加調査手数料の異議の申立てに関する注意

- 追加調査手数料の納付と共に出願人から異議申立てがあつた。
- 追加調査手数料の納付と共に出願人から異議申立てがなかつた。



## A. 発明の属する分野の分類(国際特許分類(IPC))

Int.Cl<sup>6</sup> A 61 K 31/52 // C 07 D 47 3/04, C 07 D 47 3/20, C 07 D 47 3/22

## B. 調査を行った分野

調査を行った最小限資料(国際特許分類(IPC))

Int.Cl<sup>6</sup> A 61 K 31/52, C 07 D 47 3/04, C 07 D 47 3/20, C 07 D 47 3/22

最小限資料以外の資料で調査を行った分野に含まれるもの

国際調査で使用した電子データベース(データベースの名称、調査に使用した用語)

C A p l u s (S T N), R E G I S T R Y (S T N)

## C. 関連すると認められる文献

引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
X	JP, 2613355, B2 (協和醸酵工業株式会社) 27. 2月. 1997(27. 02. 97) & EP, 590919, A1 & NO, 9303452, A & CA, 2107014, A & US, 5484920, A & US, 5587378, A & BR, 1100971, A3	1-3, 5
X	JP, 2613352, B2 (協和醸酵工業株式会社) 27. 2月. 1997(27. 02. 97) & EP, 565377, A1 & NO, 9301317, A & CA, 2093403, A & US, 5484920, A & US, 5587378, A & DE, 69316067, E & ES, 2112386, T3 & BR, 1100636, A3	1-3, 5
X	JP, 6-239862, A (協和醸酵工業株式会社) 30. 8月. 1994(30. 08. 94) & EP, 607607, A1 & NO, 9304792, A & CA, 2112031, A & DE, 69304883, E & US, 5670498, A	1-3, 5

 C欄の続きにも文献が列挙されている。 パテントファミリーに関する別紙を参照。

## \* 引用文献のカテゴリー

「A」特に関連のある文献ではなく、一般的技術水準を示すもの

「E」先行文献ではあるが、国際出願日以後に公表されたもの

「L」優先権主張に疑義を提起する文献又は他の文献の発行日若しくは他の特別な理由を確立するために引用する文献(理由を付す)

「O」口頭による開示、使用、展示等に言及する文献

「P」国際出願日前で、かつ優先権の主張の基礎となる出願

の日の後に公表された文献

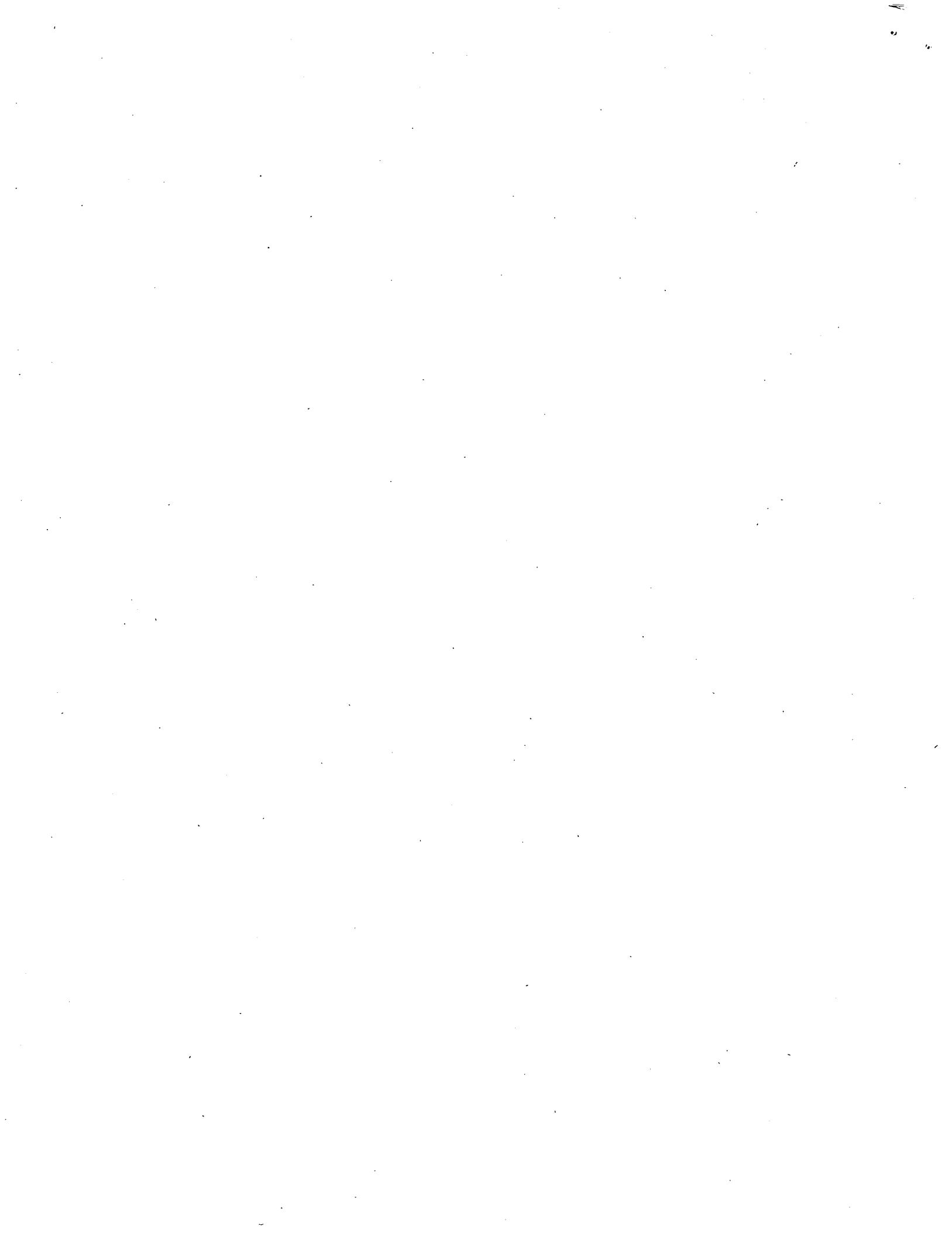
「T」国際出願日又は優先日後に公表された文献であって出願と矛盾するものではなく、発明の原理又は理論の理解のために引用するもの

「X」特に関連のある文献であって、当該文献のみで発明の新規性又は進歩性がないと考えられるもの

「Y」特に関連のある文献であって、当該文献と他の1以上の文献との、当業者にとって自明である組合せによって進歩性がないと考えられるもの

「&amp;」同一パテントファミリー文献

国際調査を完了した日 25. 11. 98	国際調査報告の発送日 08.12.98
国際調査機関の名称及びあて先 日本国特許庁 (ISA/JP) 郵便番号 100-8915 東京都千代田区霞が関三丁目4番3号	特許庁審査官(権限のある職員) 中木 亜希 電話番号 03-3581-1101 内線 3454 4 C 9282 



## C(続き) 関連すると認められる文献

引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
X	JP, 6-47539, B2 (アディール エ コンパニー) 22. 6月. 1994 (22. 06. 94) & EP, 470317, A & FR, 2665636, A & PT, 95467, A & AU, 9063228, A & US, 5173491, A & DE, 69008853, E & ES, 2056415, T3 & IE, 65158, B & CA, 2026118, A	1-3, 5
X	US, 4772607, A (Warner-Lambert Company) 20. 9月. 1988 (20. 09. 88) (ファミリーなし)	1-3, 5



UNITED STATES PATENT AND TRADEMARK OFFICE  
CERTIFICATE OF CORRECTION

PATENT NO. : 4,772,607

DATED : September 20, 1988

INVENTOR(S) : Edward W. Badger, et al

514

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

In column 16 line 2 change "S(O)<sub>R</sub>" to --S(O)<sub>k</sub>--.

In column 16 line 5 change "NH(CH<sub>2</sub>)<sub>26</sub>" to --NH(CH<sub>2</sub>)<sub>2-6</sub>--.

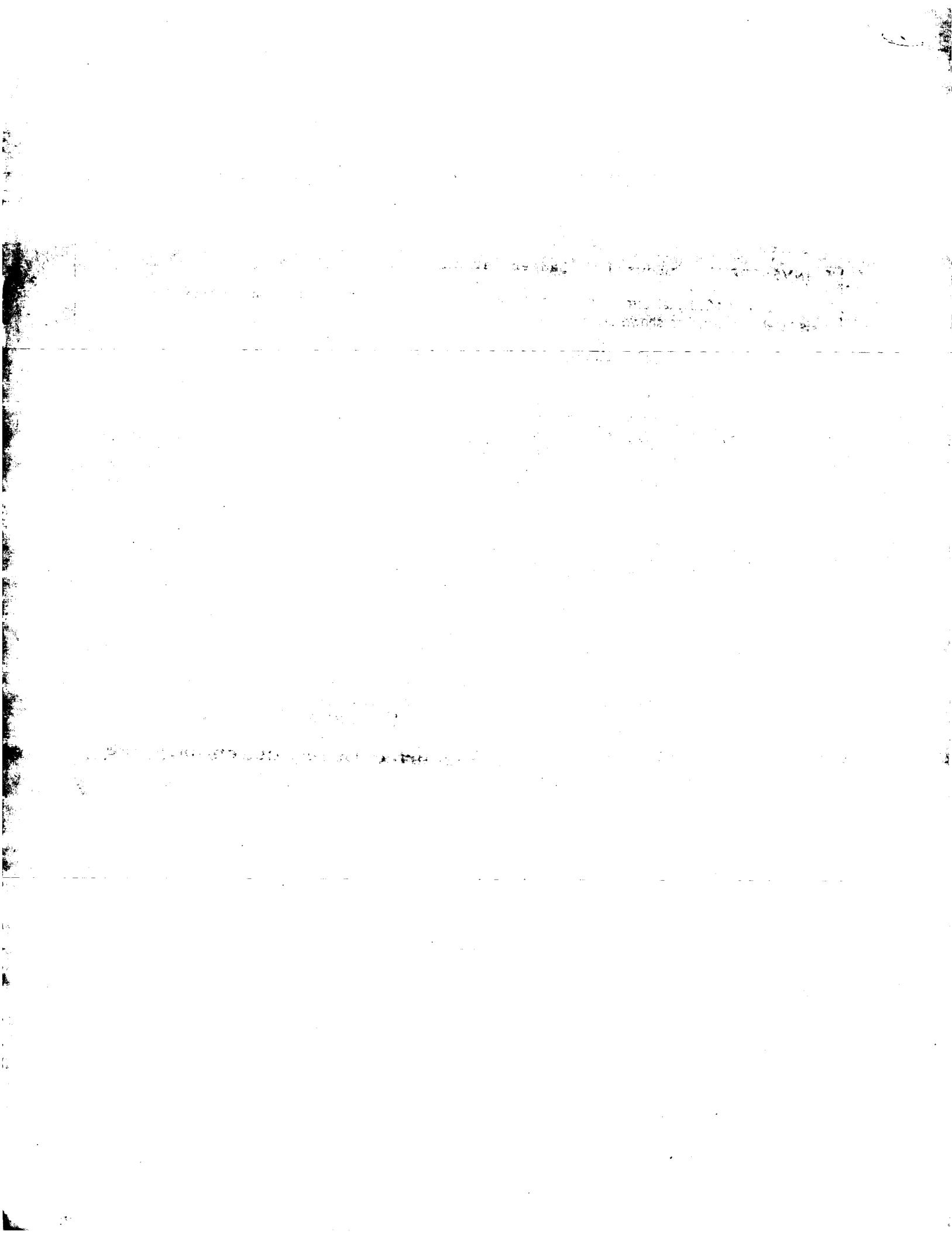
Signed and Sealed this  
Fourteenth Day of February, 1989

*Attest:*

DONALD J. QUIGG

*Attesting Officer*

*Commissioner of Patents and Trademarks*



PCT

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国際事務局  
特許協力条約に基づいて公開された国際出願



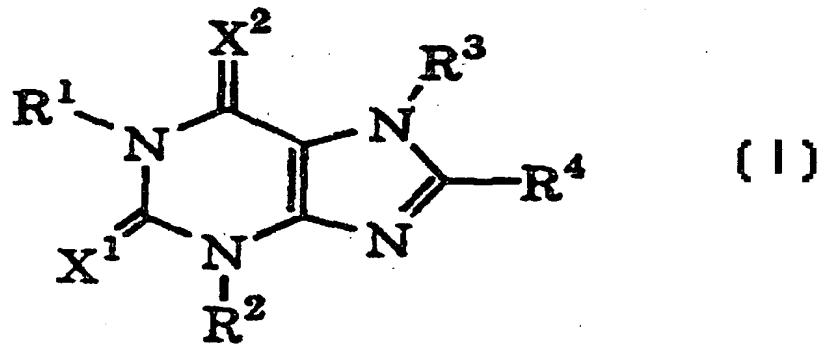
(51) 国際特許分類 A61K 31/52 // C07D 473/04, 473/20, 473/22	A1	(11) 国際公開番号 <b>WO99/12546</b>
		(43) 国際公開日 1999年3月18日(18.03.99)
(21) 国際出願番号 PCT/JP98/03980		鈴木文夫(SUZUKI, Fumio)[JP/JP] 〒411-0021 静岡県三島市富士見台18-4 Shizuoka, (JP)
(22) 国際出願日 1998年9月4日(04.09.98)		桑名良寿(KUWANA, Yoshihisa)[JP/JP] 〒411-0943 静岡県駿東郡長泉町下土狩1064-8 Shizuoka, (JP)
(30) 優先権データ 特願平9/240565 1997年9月5日(05.09.97)	JP	(81) 指定国 AU, BG, BR, BY, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, ヨーラシア特許 (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), 欧州特許 (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).
(71) 出願人 (米国を除くすべての指定国について) 協和醸酵工業株式会社 (KYOWA HAKKO KOGYO CO., LTD.)[JP/JP] 〒100-8185 東京都千代田区大手町一丁目6番1号 Tokyo, (JP)		添付公開書類 国際調査報告書
(72) 発明者 ; および (75) 発明者 / 出願人 (米国についてのみ) 島田純一(SHIMADA, Junichi)[JP/JP] 〒411-0933 静岡県駿東郡長泉町納米里98-1 ロイヤルヒルズ式番館305号 Shizuoka, (JP) 黒川昌子(KUROKAWA, Masako)[JP/JP] 〒411-0815 静岡県三島市安久656-18 Shizuoka, (JP) 池田 顕(IKEDA, Ken)[JP/JP] 〒411-0944 静岡県駿東郡長泉町竹原336-1クレール竹原211号 Shizuoka, (JP)		

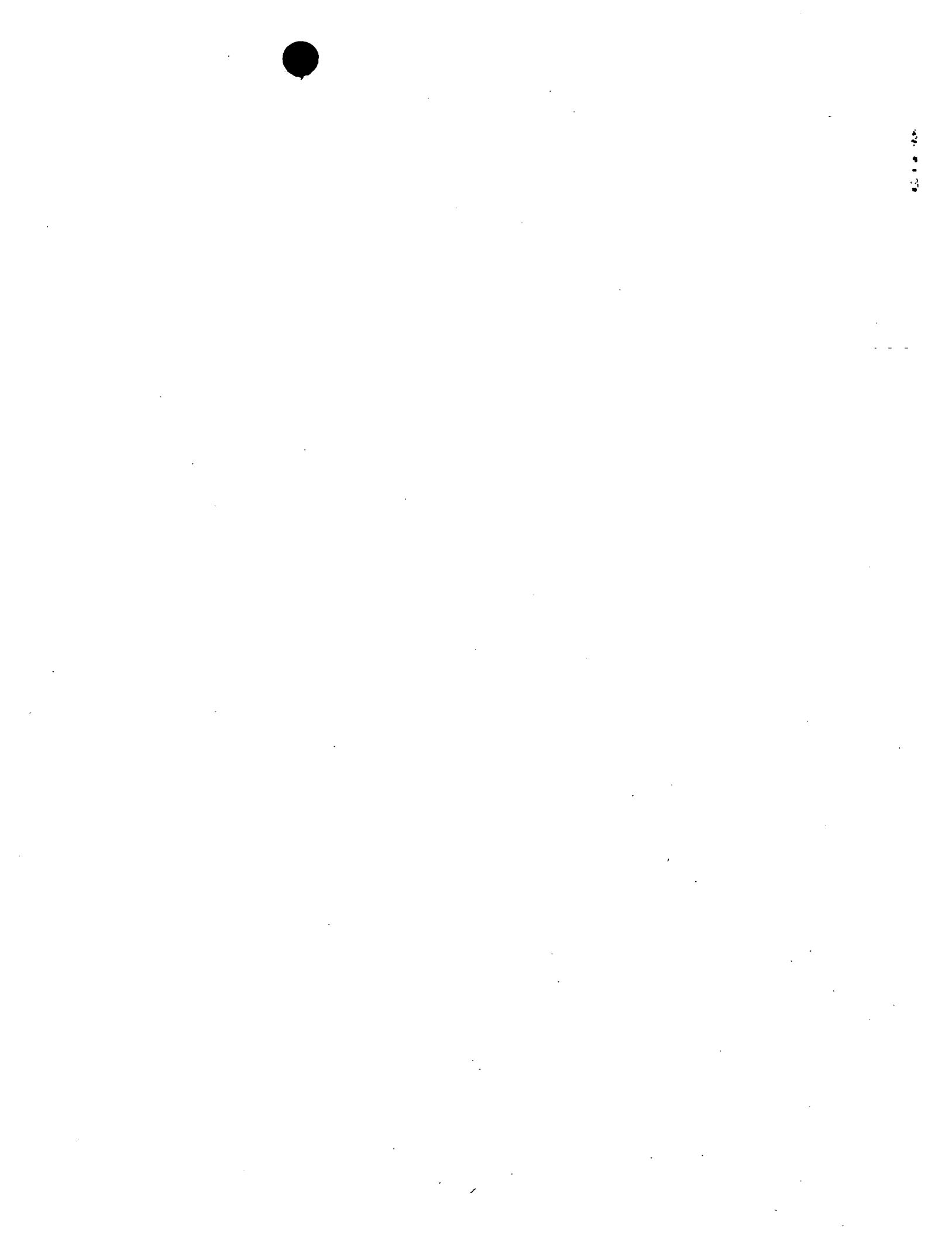
(54)Title: REMEDIAL AGENT FOR NEURAL DEGENERATION

(54)発明の名称 神経変性疾患治療剤

## (57) Abstract

A remedy for neural degeneration which contains a xanthine derivative represented by general formula (I) or a pharmacologically acceptable salt thereof as the active ingredient.







P.B.5818 – Patentlaan 2  
2280 HV Rijswijk (ZH)  
+31 70 340 2040  
TX 31651 epo nl  
FAX +31 70 340 3016

**Europäisches  
Patentamt**

Zweigstelle  
in Den Haag  
Recherchen-  
abteilung

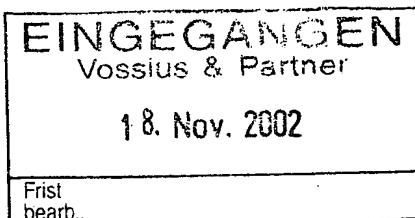
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Branch at  
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**Offic européen  
des brevets**

Département à  
La Haye  
Division de la  
recherche

VOSSIUS & PARTNER  
Siebertstrasse 4  
81675 München  
ALLEMAGNE



Datum/Date

15.11.02

Zeichen/Ref./Réf. <b>E1324EP</b>	Anmeldung Nr./Application No./Demande n°./Patent Nr./Patent No./Brevet n°. <b>98941725.8-1216-JP9803980</b>
Anmelder/Applicant/Demandeur/Patentinhaber/Proprietor/Titulaire <b>KYOWA HAKKO KOGYO CO., LTD.</b>	

## COMMUNICATION

The European Patent Office herewith transmits as an enclosure the European search report for the above-mentioned European patent application.

If applicable, copies of the documents cited in the European search report are attached.

- Additional set(s) of copies of the documents cited in the European search report is (are) enclosed as well.

## REFUND OF THE SEARCH FEE

If applicable under Article 10 Rules relating to fees, a separate communication from the Receiving Section on the refund of the search fee will be sent later.





European Patent  
Offic

**SUPPLEMENTARY  
PARTIAL EUROPEAN SEARCH REPORT**

Application Number

which under Rule 45 of the European Patent Convention EPO 98 94 1725  
shall be considered, for the purposes of subsequent  
proceedings, as the European search report

**DOCUMENTS CONSIDERED TO BE RELEVANT**

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
X	HEESE K ET AL: "Nerve growth factor (NGF) expression in rat microglia is induced by adenosine A2a-receptors." NEUROSCIENCE LETTERS. IRELAND 8 AUG 1997, vol. 231, no. 2, 8 August 1997 (1997-08-08), pages 83-86, XP002205285 ISSN: 0304-3940 * abstract * * page 85, column 2, paragraphs 2,3 *	1-3	A61K31/52 C07D473/04 // (C07D473/04, 473:20, 473:22)
X	EP 0 607 607 A (KYOWA HAKKO KOGYO KK) 27 July 1994 (1994-07-27) * figure I * * page 1, line 1 - line 9 * * page 11, line 1 - page 15, line 33 * * page 11 - page 15; examples 1-3,6,9-14,16,17,20-23,26,27,30; table 1 * * claims 1-11 *	1-3 --- -/-	TECHNICAL FIELDS SEARCHED (Int.Cl.6) A61K

The supplementary search report has been based on the last set of claims valid and available at the start of the search.

**INCOMPLETE SEARCH**

The Search Division considers that the present application, or some or all of its claims, does/do not comply with the EPC to such an extent that a meaningful search into the state of the art cannot be carried out, or can only be carried out partially, for the following claims:

Claims searched completely :

Claims searched incompletely :

Claims not searched :

Reason for the limitation of the search:

see sheet C

9

Place of search	Date of completion of the search	Examiner
THE HAGUE	6 November 2002	Strack, E
CATEGORY OF CITED DOCUMENTS		
X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document		
T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons ..... & : member of the same patent family, corresponding document		



DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
X	EP 0 565 377 A (KYOWA HAKKO KOGYO KK) 13 October 1993 (1993-10-13) * page 2, line 1 - line 2 * * claims 1-9 * in particular examples 1, 2, 4 and 17 * page 12 - page 15; table 2 *	1-3	
X	EP 0 590 919 A (KYOWA HAKKO KOGYO KK) 6 April 1994 (1994-04-06) * page 3, line 1 - line 6 * * page 11-17; table 1 * * claims 1-22 *	1-3	
X,D	EP 0 698 607 A (KYOWA HAKKO KOGYO KK) 28 February 1996 (1996-02-28) * page 1, line 5 - line 8 * * page 6 - page 8; table 1 * * claims 1-12 *	1-3	TECHNICAL FIELDS SEARCHED (Int.Cl.6)
X	DE 42 36 331 A (BOEHRINGER INGELHEIM KG) 5 May 1994 (1994-05-05) * claims 2,6 *	1,2	
X	CANHAO P ET AL: "1,3-DIPROPYL-8-CYCLOPENTYLXANTHINE ATTENUATES THE NMDA RESPONSE TO HYPOXIA IN THE RAT HIPPOCAMPUS" BRAIN RESEARCH, AMSTERDAM, NL, vol. 1/2, no. 661, 1994, pages 265-273, XP008004873 ISSN: 0006-8993 * abstract *	1,2	
		-/-	



DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
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X	PHILLIS J W: "THE EFFECTS OF SELECTIVE A1 AND A2A ADENOSINE RECEPTOR ANTAGONIST ON CEREBRAL ISCHEMIC INJURY IN THE GERBIL" BRAIN RESEARCH, AMSTERDAM, NL, vol. 705, no. 1/2, 24 December 1995 (1995-12-24), pages 79-84, XP001006295 ISSN: 0006-8993 * abstract *	1-3	TECHNICAL FIELDS SEARCHED (Int.Cl.6)
X	MACGREGOR D G ET AL: "BLOCKADE BY 1,3-DIPROPYL-8-CYCLOPENTYLXANTHINE (CPX) OF PURINE PROTECTION AGAINST KAINEATE NEUROTOXICITY" BRAIN RESEARCH, AMSTERDAM, NL, vol. 644, no. 2, 1994, pages 339-342, XP001006257 ISSN: 0006-8993 * abstract * ---	1,2	
		-/-	



Eur pean Patent  
Office

## PARTIAL EUROPEAN SEARCH REPORT

Application Number

EP 98 94 1725

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
			TECHNICAL FIELDS SEARCHED (Int.Cl.6)
X	EP 0 374 808 A (BOEHRINGER INGELHEIM KG ;BOEHRINGER INGELHEIM INT (DE)) 27 June 1990 (1990-06-27) * page 5, line 55 – page 6, line 11 * * page 2, line 1 – line 15 * * page 22 – page 29; examples 25-30,32-51,54-78; table I * ---	1,2	
X	US 5 173 491 A (DUHAULT JACQUES ET AL) 22 December 1992 (1992-12-22) * column 1, line 1 – column 2, line 15 * ---	1,2	
X	EP 0 389 282 A (BEECHAM WUELFING GMBH & CO KG ;BEECHAM GROUP PLC (GB)) 26 September 1990 (1990-09-26) * page 3, line 12 – line 17 * * claims 1,15 * ---	1,2	TECHNICAL FIELDS SEARCHED (Int.Cl.6)
Y	DALPIAZ A ET AL: "DE NOVO ANALYSIS OF RECEPTOR BINDING AFFINITY DATA OF 8-ETHENYL-XANTHINE ANTAGONISTS TO ADENOSINE A1 AND A2A RECEPTORS" ARZNEIMITTEL FORSCHUNG. DRUG RESEARCH, EDITIO CANTOR. AULENDORF, DE, vol. 5, no. 47, 1997, pages 591-594, XP001073979 ISSN: 0004-4172 * abstract * * tables 1,2 * conclusions * page 594 * ---	1-3	
		-/-	



DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
Y	DATABASE MEDLINE 'Online! 1996 MALLY J ET AL: "Potential role of adenosine antagonist therapy in pathological tremor disorders." Database accession no. NLM9364577 XP002205263 * abstract * & PHARMACOLOGY & THERAPEUTICS. ENGLAND 1996, vol. 72, no. 3, 1996, pages 243-250, ISSN: 0163-7258 ---	1-3	
P,X	KANDA T ET AL: "ADENOSINE A2A RECEPTORS MODIFY MOTOR FUNCTION IN MPTP-TREATED COMMON MARMOSETS" NEUROREPORT, RAPID COMMUNICATIONS OF OXFORD, OXFORD, GB, vol. 9, no. 12, 24 August 1998 (1998-08-24), pages 2857-2860, XP001006279 ISSN: 0959-4965 * abstract * conclusion * page 2860 * ---	1-3	TECHNICAL FIELDS SEARCHED (Int.Cl.6)
P,X	KANDA T ET AL: "ADENOSINE A2A ANTAGONIST: A NOVEL ANTIPARKINSONIAN AGENT THAT DOES NOT PROVOKE DYSKINESIA IN PARKINSONIAN MONKEYS" ANNALS OF NEUROLOGY, BOSTON, US, vol. 4, no. 43, 1998, pages 507-513, XP008004872 ISSN: 0364-5134 * abstract * * page 508, column 2, paragraph 3 * * page 512, column 2, paragraph 3 - paragraph 4 * ---	1-3	



European Patent  
Office

INCOMPLETE SEARCH  
SHEET C

Application Number  
EP 98 94 1725

Claim(s) searched incompletely:  
1-3

Reason for the limitation of the search:

Present claims 1-3 relate to an extremely large number of possible compounds. Support within the meaning of Article 84 EPC and disclosure within the meaning of Article 83 EPC is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible.

Furthermore, present claims 1-3 relate to pathological conditions referred to as "neurodegenerative disorders" as the characterising feature. Based upon information readily available, the skilled person would not be aware of all the pathologies possibly involving neurodegeneration. The use of this expression in the present context is considered to lead to a lack of clarity within the meaning of Article 84 EPC. It is not fully possible to determine the diseases for which protection might legitimately be sought. The lack of clarity is such as to render a meaningful complete search impossible.

Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the use of compounds 1-4 of the examples in relation to the treatment of neurodegenerative disorders as listed on page 13, lines 2-6 of the description, with due regard to the general idea underlying the present invention.

**ANNEX TO THE EUROPEAN SEARCH REPORT  
ON EUROPEAN PATENT APPLICATION NO.**

EP 98 94 1725

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

06-11-2002

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
✓ EP 0607607	A	27-07-1994	AT CA DE DE EP JP JP NO US	143019 T 2112031 A1 69304883 D1 69304883 T2 0607607 A1 3165769 B2 6239862 A 934792 A 5670498 A	15-10-1996 25-06-1994 24-10-1996 15-05-1997 27-07-1994 14-05-2001 30-08-1994 27-06-1994 23-09-1997
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✓ EP 0590919	A	06-04-1994	AT CA DE DE DK EP ES GR JP JP NO PT US US	188216 T 2107014 A1 69327438 D1 69327438 T2 590919 T3 0590919 A1 2141137 T3 3032972 T3 2613355 B2 6211856 A 933452 A 590919 T 5484920 A 5587378 A	15-01-2000 29-03-1994 03-02-2000 21-06-2000 17-04-2000 06-04-1994 16-03-2000 31-07-2000 28-05-1997 02-08-1994 29-03-1994 28-04-2000 16-01-1996 24-12-1996
EP 0698607	A	28-02-1996	AT AU DE EP US CA WO	218139 T 1823895 A 69526822 D1 0698607 A1 5703085 A 2161011 A1 9523148 A1	15-06-2002 11-09-1995 04-07-2002 28-02-1996 30-12-1997 31-08-1995 31-08-1995

**ANNEX TO THE EUROPEAN SEARCH REPORT  
ON EUROPEAN PATENT APPLICATION NO.**

EP 98 94 1725

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06-11-2002

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
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			DE	8817122 U1		04-02-1993
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			DK	652689 A		23-06-1990
			EP	0374808 A2		27-06-1990
			ES	2086313 T3		01-07-1996
			FI	96513 B		29-03-1996
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			HR	940724 A1		30-06-1997
			HU	52503 A2		28-07-1990
			IE	74205 B1		16-07-1997
			IL	92829 A		31-12-1995
			JP	2247180 A		02-10-1990
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			KR	165666 B1		15-01-1999
			MX	9203618 A1		01-09-1992
			NO	895168 A ,B,		25-06-1990
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			PL	282878 A1		09-03-1992
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			SI	8912423 A ,B		30-04-1998
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			US	5532368 A		02-07-1996
			US	5696124 A		09-12-1997
			US	5688802 A		18-11-1997
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			ZA	8909881 A		28-08-1991

**ANNEX TO THE EUROPEAN SEARCH REPORT  
ON EUROPEAN PATENT APPLICATION NO.**

EP 98 94 1725

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on. The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

06-11-2002

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
✓ US 5173491	A	22-12-1992	FR	2665636 A1	14-02-1992
			AT	105478 T	15-05-1994
			AU	631679 B2	03-12-1992
			AU	6322890 A	14-05-1992
			CA	2026118 A1	11-02-1992
			DE	69008853 D1	16-06-1994
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			DK	470317 T3	19-09-1994
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# INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP98/03980

**A. CLASSIFICATION OF SUBJECT MATTER**

Int.Cl<sup>6</sup> A61K31/52 // C07D473/04, C07D473/20, C07D473/22

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl<sup>6</sup> A61K31/52, C07D473/04, C07D473/20, C07D473/22

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CAplus (STN), REGISTRY (STN)

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP, 2613355, B2 (Kyowa Hakko Kogyo Co., Ltd.), 27 February, 1997 (27. 02. 97) & EP, 590919, A1 & NO, 9303452, A & CA, 2107014, A & US, 5484920, A & US, 5587378, A & BR, 1100971, A3	1-3, 5
X	JP, 2613352, B2 (Kyowa Hakko Kogyo Co., Ltd.), 27 February, 1997 (27. 02. 97) & EP, 565377, A1 & NO, 9301317, A & CA, 2093403, A & US, 5484920, A & US, 5587378, A & DE, 69316067, E & ES, 2112386, T3 & BR, 1100636, A3	1-3, 5
X	JP, 6-239862, A (Kyowa Hakko Kogyo Co., Ltd.), 30 August, 1994 (30. 08. 94) & EP, 607607, A1 & NO, 9304792, A & CA, 2112031, A & DE, 69304883, E & US, 5670498, A	1-3, 5

Further documents are listed in the continuation of Box C.

See patent family annex.

\* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search  
25 November, 1998 (25. 11. 98)

Date of mailing of the international search report  
8 December, 1998 (08. 12. 98)

Name and mailing address of the ISA/  
Japanese Patent Office

Authorized officer

Facsimile No.

Telephone No.

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/JP98/03980

## C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP, 6-47539, B2 (Adir et Co.), 22 June, 1994 (22. 06. 94) & EP, 470317, A & FR, 2665636, A & PT, 95467, A & AU, 9063228, A & US, 5173491, A & DE, 69008853, E & ES, 2056415, T3 & IE, 65158, B & CA, 2026118, A	1-3, 5
X	US, 4772607, A (Warner-Lambert Company), 20 September, 1988 (20. 09. 88) (Family: none)	1-3, 5

## 国際調査報告

国際出願番号 PCT/JP98/03980

## A. 発明の属する分野の分類(国際特許分類(IPC))

Int. Cl<sup>6</sup> A 61K 31/52 // C 07D 473/04, C 07D 473/20, C 07D 473/22

## B. 調査を行った分野

調査を行った最小限資料(国際特許分類(IPC))

Int. Cl<sup>6</sup> A 61K 31/52, C 07D 473/04, C 07D 473/20, C 07D 473/22

最小限資料以外の資料で調査を行った分野に含まれるもの

国際調査で使用した電子データベース(データベースの名称、調査に使用した用語)

Caplus(STN), REGISTRY(STN)

## C. 関連すると認められる文献

引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
X	JP, 2613355, B2 (協和醸酵工業株式会社) 27. 2月. 1997 (27. 02. 97) & EP, 590919, A1 & NO, 9303452, A & CA, 2107014, A & US, 5484920, A & US, 5587378, A & BR, 1100971, A3	1-3, 5
X	JP, 2613352, B2 (協和醸酵工業株式会社) 27. 2月. 1997 (27. 02. 97) & EP, 565377, A1 & NO, 9301317, A & CA, 2093403, A & US, 5484920, A & US, 5587378, A & DE, 69316067, E & ES, 2112386, T3 & BR, 1100636, A3	1-3, 5
X	JP, 6-239862, A (協和醸酵工業株式会社) 30. 8月. 1994 (30. 08. 94) & EP, 607607, A1 & NO, 9304792, A & CA, 2112031, A & DE, 69304883, E & US, 5670498, A	1-3, 5

 C欄の続きにも文献が列挙されている。 パテントファミリーに関する別紙を参照。

## \* 引用文献のカテゴリー

「A」特に関連のある文献ではなく、一般的技術水準を示すもの

「E」先行文献ではあるが、国際出願日以後に公表されたもの

「L」優先権主張に疑義を提起する文献又は他の文献の発行日若しくは他の特別な理由を確立するために引用する文献(理由を付す)

「O」口頭による開示、使用、展示等に言及する文献

「P」国際出願日前で、かつ優先権の主張の基礎となる出願

## の日の後に公表された文献

「T」国際出願日又は優先日後に公表された文献であって出願と矛盾するものではなく、発明の原理又は理論の理解のために引用するもの

「X」特に関連のある文献であって、当該文献のみで発明の新規性又は進歩性がないと考えられるもの

「Y」特に関連のある文献であって、当該文献と他の1以上の文献との、当業者にとって自明である組合せによって進歩性がないと考えられるもの

「&amp;」同一パテントファミリー文献

国際調査を完了した日 25. 11. 98	国際調査報告の発送日 08.12.98
国際調査機関の名称及びあて先 日本国特許庁 (ISA/JP) 郵便番号 100-8915 東京都千代田区霞が関三丁目4番3号	特許庁審査官(権限のある職員) 中木 亜希 電話番号 03-3581-1101 内線 3454

## 国際調査報告

国際出願番号 PCT/JP98/03980

C (続き) . 関連すると認められる文献		
引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
X	JP, 6-47539, B2 (アディール エ コンパニー) 22. 6月. 1994 (22. 06. 94) & EP, 470317, A & FR, 2665636, A & PT, 95467, A & AU, 9063228, A & US, 5173491, A & DE, 69008853, E & ES, 2056415, T3 & IE, 65158, B & CA, 2026118, A	1-3, 5
X	US, 4772607, A (Warner-Lambert Company) 20. 9月. 1988 (20. 09. 88) (ファミリーなし)	1-3, 5

## PATENT COOPERATION TREATY

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AUG 23 2000

Translation  
(b)(1)

## PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

TECHNICAL CENTER 1600/2900

Applicant's or agent's file reference 1082	<b>FOR FURTHER ACTION</b>	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/JP98/03980	International filing date (day/month/year) 04 September 1998 (04.09.1998)	Priority date (day/month/year) 05 September 1997 (05.09.1997)
International Patent Classification (IPC) or national classification and IPC A61K 31/52 // C07D 473/04, 473/20, 473/22		
Applicant KYOWA HAKKO KOGYO CO., LTD.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

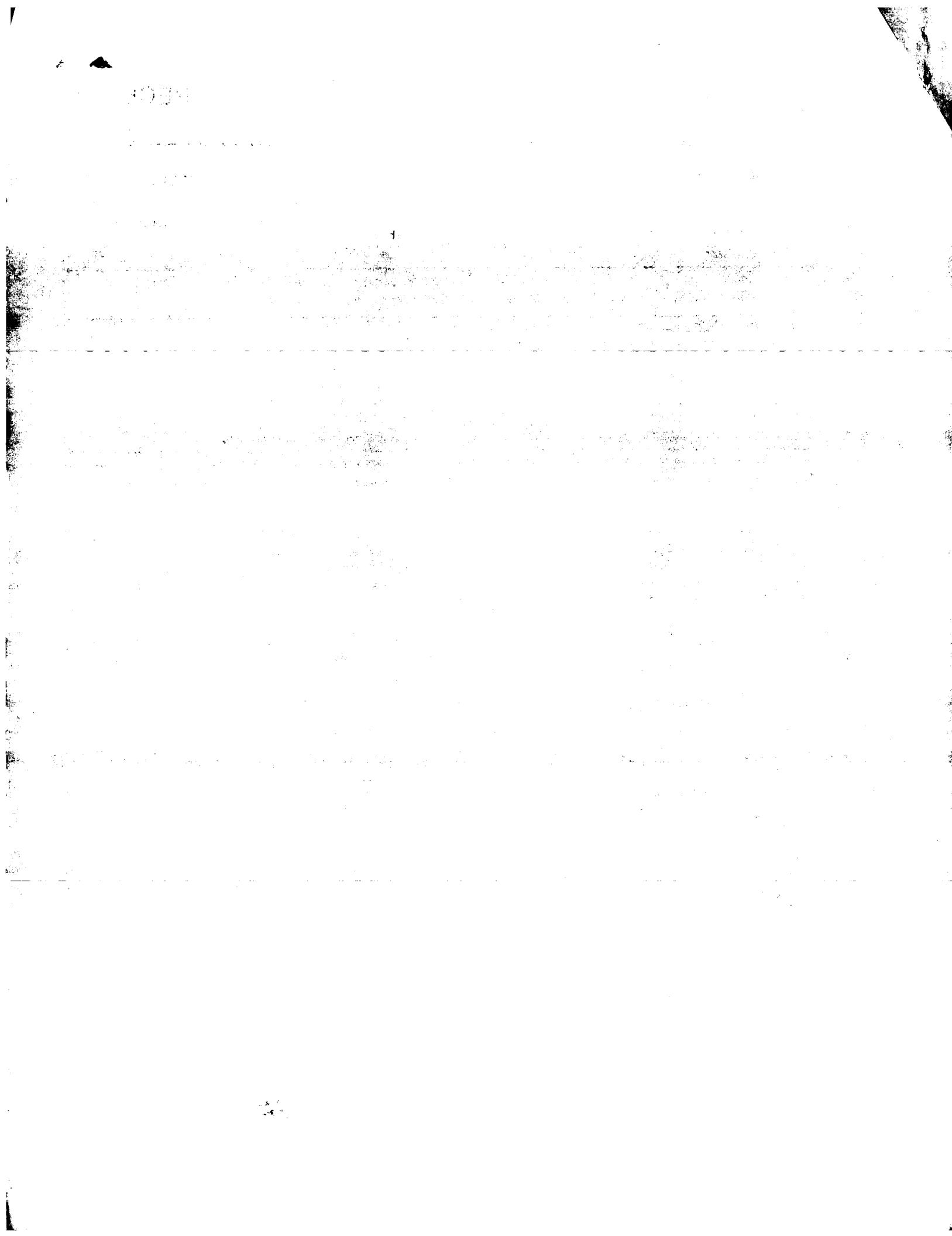
This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of \_\_\_\_\_ sheets.

3. This report contains indications relating to the following items:

- I  Basis of the report
- II  Priority
- III  Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV  Lack of unity of invention
- V  Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI  Certain documents cited
- VII  Certain defects in the international application
- VIII  Certain observations on the international application

Date of submission of the demand 31 March 1999 (31.03.1999)	Date of completion of this report 27 October 1999 (27.10.1999)
Name and mailing address of the IPEA/JP Japanese Patent Office, 4-3 Kasumigaseki 3-chome Chiyoda-ku, Tokyo 100-8915, Japan Facsimile No.	Authorized officer Telephone No. (81-3) 3581 1101



## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP98/03980

## I. Basis of the report

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## 1. With regard to the elements of the international application:\*

 the international application as originally filed the description:

pages \_\_\_\_\_

pages \_\_\_\_\_

pages \_\_\_\_\_

TECH CENTER 1600 2000

AUG 23 2000

, as originally filed

, filed with the demand

 the claims:

pages \_\_\_\_\_

pages \_\_\_\_\_

pages \_\_\_\_\_

pages \_\_\_\_\_

, as originally filed

, as amended (together with any statement under Article 19)

, filed with the demand

 the drawings:

pages \_\_\_\_\_

pages \_\_\_\_\_

pages \_\_\_\_\_

, as originally filed

, filed with the demand

 the sequence listing part of the description:

pages \_\_\_\_\_

pages \_\_\_\_\_

pages \_\_\_\_\_

, as originally filed

, filed with the demand

## 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

 the language of a translation furnished for the purposes of international search (under Rule 23.1(b)). the language of publication of the international application (under Rule 48.3(b)). the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

## 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

 contained in the international application in written form. filed together with the international application in computer readable form. furnished subsequently to this Authority in written form. furnished subsequently to this Authority in computer readable form. The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished. The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.4.  The amendments have resulted in the cancellation of: the description, pages \_\_\_\_\_ the claims, Nos. \_\_\_\_\_ the drawings, sheets/fig \_\_\_\_\_5.  This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.



**INTERNATIONAL PRELIMINARY EXAMINATION REPORT**

International application No.

PCT/JP98/03980

**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

 the entire international application. claims Nos. \_\_\_\_\_ 4 \_\_\_\_\_**RECEIVED**

AUG 23 2000

because:

TECH CENTER 1600/2000

 the said international application, or the said claims Nos. \_\_\_\_\_ 4 \_\_\_\_\_ relate to the following subject matter which does not require an international preliminary examination (*specify*):

The subject matter of claim 4 relates to a method for treatment of the human body by therapy.

 the description, claims or drawings (*indicate particular elements below*) or said claims Nos. \_\_\_\_\_ are so unclear that no meaningful opinion could be formed (*specify*): the claims, or said claims Nos. \_\_\_\_\_ are so inadequately supported by the description that no meaningful opinion could be formed. no international search report has been established for said claims Nos. \_\_\_\_\_ 4 \_\_\_\_\_.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

 the written form has not been furnished or does not comply with the standard. the computer readable form has not been furnished or does not comply with the standard.



## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

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## 1. Statement

Novelty (N)	Claims	YES TECH CENTER 600/2900
	Claims	1-3,5 NO
Inventive step (IS)	Claims	YES
	Claims	1-3,5 NO
Industrial applicability (IA)	Claims	YES
	Claims	NO

## 2. Citations and explanations

1) The subject matters of claims 1-3 and 5 do not appear to be novel or involve an inventive step since they are described in the following documents respectively cited in the ISR.

1. JP, 2613355, B2 → 5,581,378  
2. JP, 2613352, B2

3. JP, 6-239862, A → 6,076,607

Documents 1 and 2 describe therapeutic agents for Parkinson's disease, containing the compound described in claim 3 of the present application as an active ingredient.

Document 3 describes that the compound described in claim 3 of the present application shows inhibitory action against the haloperidol-induced catalepsy known as a model for reproducing Parkinson's disease.

Since Parkinson's disease is a disease based on the degeneration and cell death of the nigrostriatal dopamine nerve as also described in documents 1-3, Parkinson's disease appears to be a neural degeneration disease.

So, documents 1-3 appear to describe an invention concerning a therapeutic agent for neural degeneration diseases containing the compound described in claim 3 of the present application as an active ingredient, and an invention concerning the use of the compound described in claim 3 of the present application for producing a therapeutic agent for neural degeneration diseases.

2) The subject matters of claims 1, 2 and 5 do not appear to be novel or involve an inventive step since they are described in the following document cited in the ISR.

4. JP, 6-47539, B2

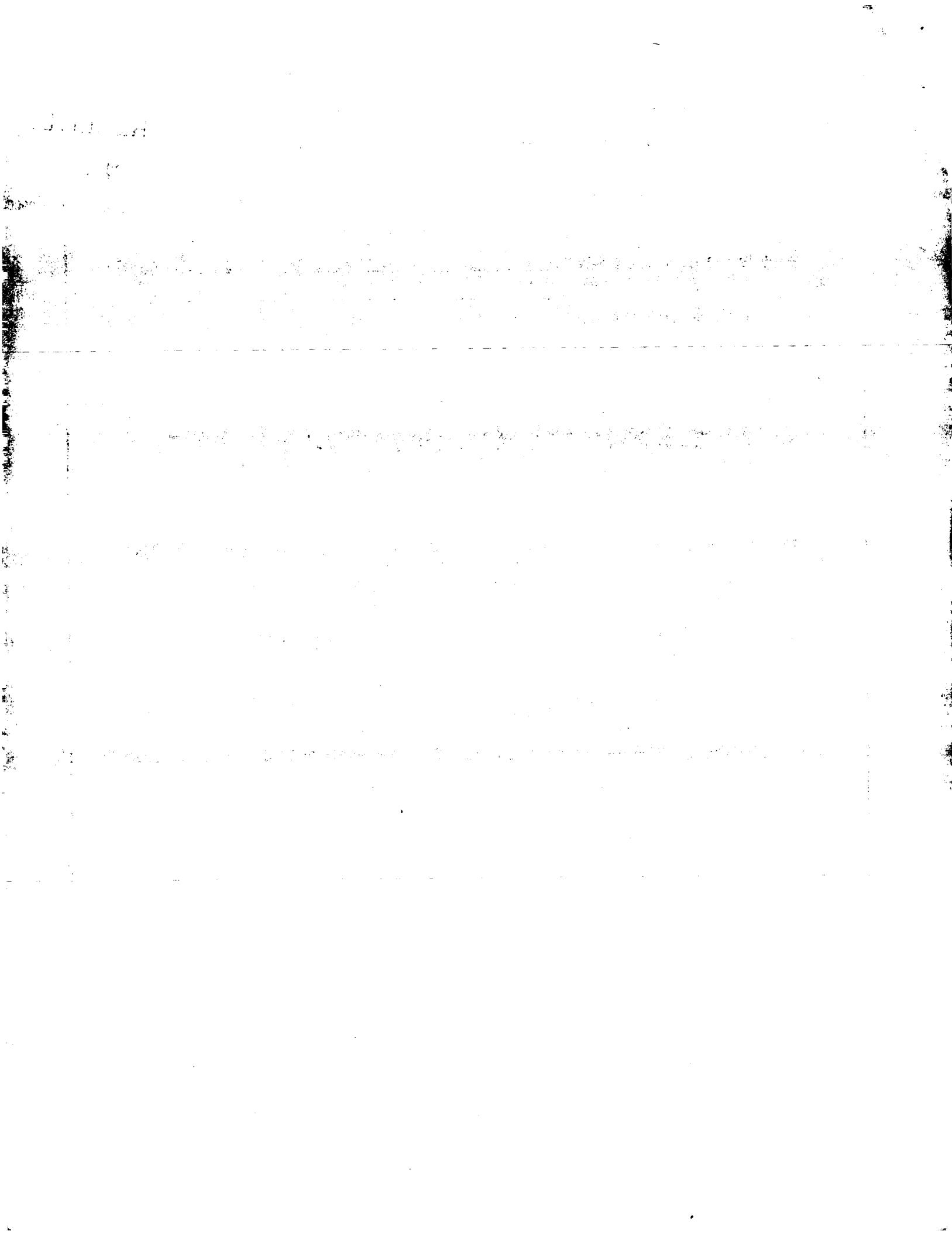
Document 4 describes a therapeutic drug-composition for Alzheimer's disease, containing 1,3,7-trimethyl-8-[3-(4-dimethylaminocarbonylpiperazino)propyl]xanthine as an active ingredient, and the 1,3,7-trimethyl-8-[3-(4-dimethylaminocarbonylpiperazino)propyl]xanthine is included in the compound described in claim 2 of the present application.

The present application (specification, page 9, lines 13-17) exemplifies Alzheimer's disease as a neural degeneration disease.

So, document 4 appears to describe an invention concerning a therapeutic agent for neural degeneration diseases containing the compound described in claim 2 of the present application as an active ingredient, and an invention concerning the use of the compound described in claim 2 of the present application for producing a therapeutic agent for neural degeneration diseases.

3) The subject matters of claims 1, 2 and 5 do not appear to involve an inventive step in view of the following document cited in the ISR.

5. US, 4772607, A



**INTERNATIONAL PRELIMINARY EXAMINATION REPORT**

International application No.

**PCT/JP98/03980****Supplemental Box**

(To be used when the space in any of the preceding boxes is not sufficient)

**Continuation of Box V (Citations and explanations):**

Document 5 suggests that a compound having lower alkenyl groups at the 1- and 3-positions and a cycloalkyl group at the 8-position can be used for therapy of Alzheimer's disease.

So, it could have been easily confirmed by a person skilled in the art, for example, by performing pharmacological tests, that a compound having lower alkenyl groups at the 1- and 3-positions and a cycloalkyl group at the 8-position is effective for therapy of Alzheimer's disease.

The effect achieved in the subject matters of claims 1, 2 and 5 of the present application does not appear to be at a remarkable level that cannot be anticipated by a person skilled in the art from the description of document 5.



## 特許協力条約

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PCT

## 国際予備審査報告

(法第12条、法施行規則第56条)  
[PCT36条及びPCT規則70]

出願人又は代理人 の書類記号 1082	今後の手続きについては、国際予備審査報告の送付通知（様式PCT/IPEA/416）を参照すること。	
国際出願番号 PCT/JP98/03980	国際出願日 (日.月.年) 04.09.98	優先日 (日.月.年) 05.09.97
国際特許分類 (IPC) Int. C16 A61K31/52 // C07D473/04, C07D473/20, C07D473/22		
出願人（氏名又は名称） 協和醸酵工業株式会社		

1. 国際予備審査機関が作成したこの国際予備審査報告を法施行規則第57条（PCT36条）の規定に従い送付する。

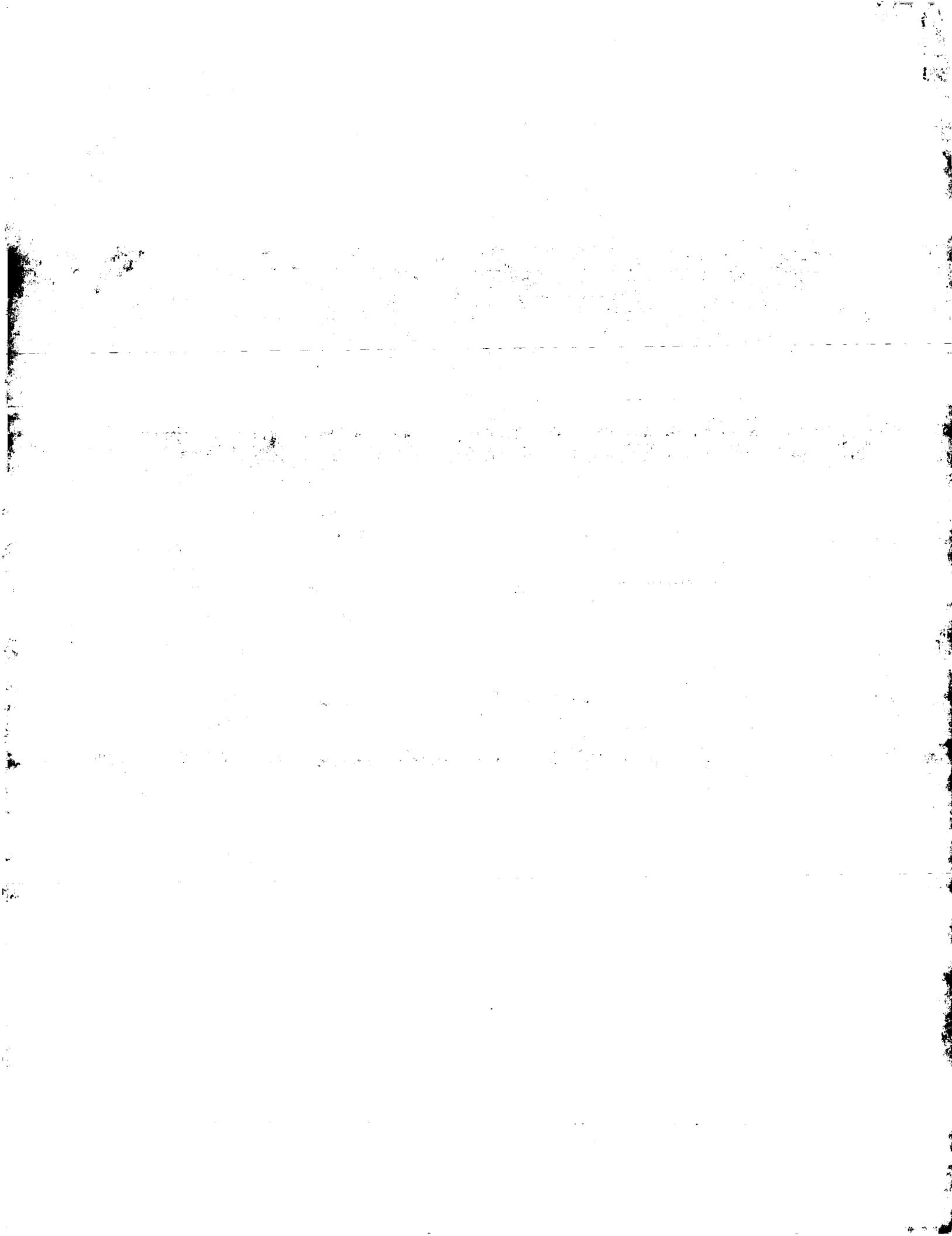
2. この国際予備審査報告は、この表紙を含めて全部で 5 ページからなる。

- この国際予備審査報告には、附属書類、つまり補正されて、この報告の基礎とされた及び／又はこの国際予備審査機関に対して訂正を含む明細書、請求の範囲及び／又は図面も添付されている。  
(PCT規則70.16及びPCT実施細則第607号参照)  
この附属書類は、全部で \_\_\_\_\_ ページである。

3. この国際予備審査報告は、次の内容を含む。

- I  国際予備審査報告の基礎
- II  優先権
- III  新規性、進歩性又は産業上の利用可能性についての国際予備審査報告の不作成
- IV  発明の単一性の欠如
- V  PCT35条(2)に規定する新規性、進歩性又は産業上の利用可能性についての見解、それを裏付けるための文献及び説明
- VI  ある種の引用文献
- VII  国際出願の不備
- VIII  国際出願に対する意見

国際予備審査の請求書を受理した日 31.03.99	国際予備審査報告を作成した日 27.10.99
名称及びあて先 日本国特許庁 (IPEA/JP) 郵便番号 100-8915 東京都千代田区霞が関三丁目4番3号	特許庁審査官（権限のある職員） 中木 亜希 電話番号 03-3581-1101 内線 3492
	4P 9282



## I. 国際予備審査報告の基礎

1. この国際予備審査報告は下記の出願書類に基づいて作成された。(法第6条(PCT14条)の規定に基づく命令に応答するために提出された差し替え用紙は、この報告書において「出願時」とし、本報告書には添付しない。  
PCT規則70.16, 70.17)

 出願時の国際出願書類

- |                          |                    |        |                      |
|--------------------------|--------------------|--------|----------------------|
| <input type="checkbox"/> | 明細書 第 _____        | ページ、   | 出願時に提出されたもの          |
|                          | 明細書 第 _____        | ページ、   | 国際予備審査の請求書と共に提出されたもの |
|                          | 明細書 第 _____        | ページ、   | 付の書簡と共に提出されたもの       |
| <input type="checkbox"/> | 請求の範囲 第 _____      | 項、     | 出願時に提出されたもの          |
|                          | 請求の範囲 第 _____      | 項、     | PCT19条の規定に基づき補正されたもの |
|                          | 請求の範囲 第 _____      | 項、     | 国際予備審査の請求書と共に提出されたもの |
|                          | 請求の範囲 第 _____      | 項、     | 付の書簡と共に提出されたもの       |
| <input type="checkbox"/> | 図面 第 _____         | ページ/図、 | 出願時に提出されたもの          |
|                          | 図面 第 _____         | ページ/図、 | 国際予備審査の請求書と共に提出されたもの |
|                          | 図面 第 _____         | ページ/図、 | 付の書簡と共に提出されたもの       |
| <input type="checkbox"/> | 明細書の配列表の部分 第 _____ | ページ、   | 出願時に提出されたもの          |
|                          | 明細書の配列表の部分 第 _____ | ページ、   | 国際予備審査の請求書と共に提出されたもの |
|                          | 明細書の配列表の部分 第 _____ | ページ、   | 付の書簡と共に提出されたもの       |

2. 上記の出願書類の言語は、下記に示す場合を除くほか、この国際出願の言語である。

上記の書類は、下記の言語である \_\_\_\_\_ 語である。

- 国際調査のために提出されたPCT規則23.1(b)にいう翻訳文の言語
- PCT規則48.3(b)にいう国際公開の言語
- 国際予備審査のために提出されたPCT規則55.2または55.3にいう翻訳文の言語

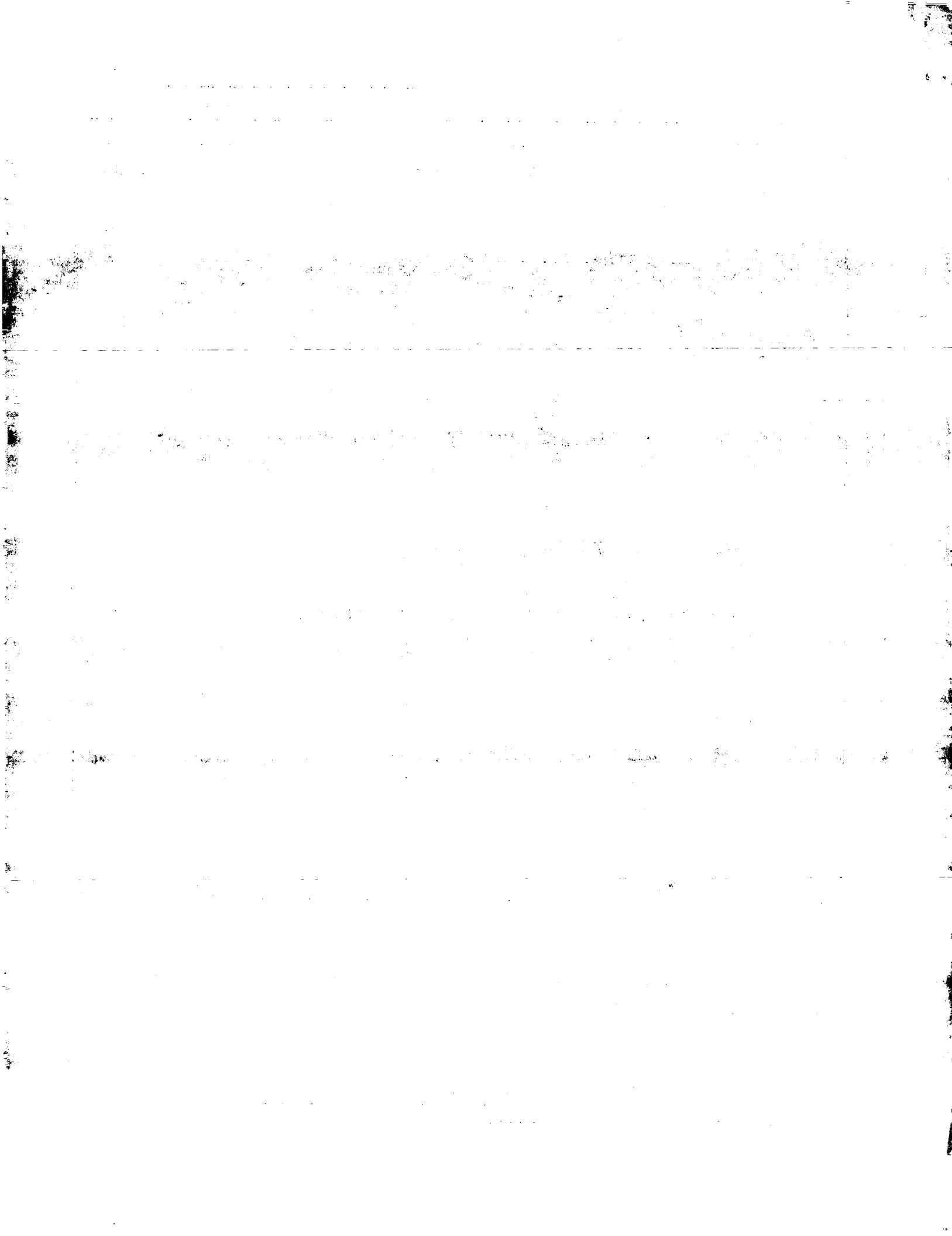
3. この国際出願は、スクレオチド又はアミノ酸配列を含んでおり、次の配列表に基づき国際予備審査報告を行った。

- この国際出願に含まれる書面による配列表
- この国際出願と共に提出されたフレキシブルディスクによる配列表
- 出願後に、この国際予備審査(または調査)機関に提出された書面による配列表
- 出願後に、この国際予備審査(または調査)機関に提出されたフレキシブルディスクによる配列表
- 出願後に提出した書面による配列表が出願時における国際出願の開示の範囲を超える事項を含まない旨の陳述書の提出があった
- 書面による配列表に記載した配列とフレキシブルディスクによる配列表に記録した配列が同一である旨の陳述書の提出があった。

4. 補正により、下記の書類が削除された。

- 明細書 第 \_\_\_\_\_ ページ
- 請求の範囲 第 \_\_\_\_\_ 項
- 図面 図面の第 \_\_\_\_\_ ページ/図

5.  この国際予備審査報告は、補充欄に示したように、補正が出願時における開示の範囲を越えてされたものと認められるので、その補正がされなかったものとして作成した。(PCT規則70.2(c) この補正を含む差し替え用紙は上記1.における判断の際に考慮しなければならず、本報告に添付する。)



## III. 新規性、進歩性又は産業上の利用可能性についての国際予備審査報告の不作成

1. 次に関して、当該請求の範囲に記載されている発明の新規性、進歩性又は産業上の利用可能性につき、次の理由により審査しない。

国際出願全体

請求の範囲 \_\_\_\_\_ 4 \_\_\_\_\_

理由：

この国際出願又は請求の範囲 4 \_\_\_\_\_ は、国際予備審査をすることを要しない  
次の事項を内容としている（具体的に記載すること）。

請求の範囲 4 に記載された発明は、人の治療による処置方法の発明に該当する。

明細書、請求の範囲若しくは図面（次に示す部分）又は請求の範囲 \_\_\_\_\_ の  
記載が、不明確であるため、見解を示すことができない（具体的に記載すること）。

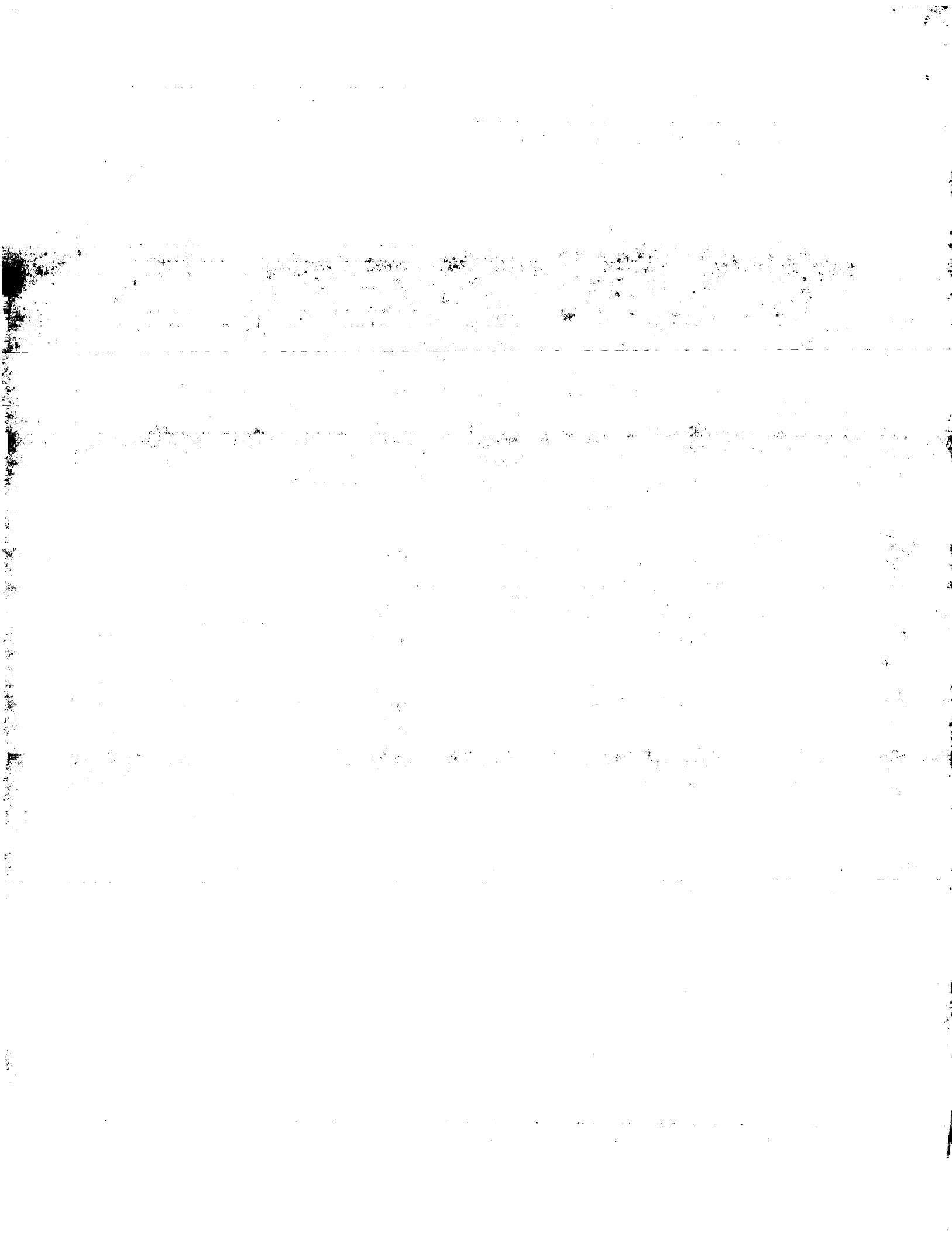
全部の請求の範囲又は請求の範囲 \_\_\_\_\_ が、明細書による十分な  
裏付けを欠くため、見解を示すことができない。

請求の範囲 \_\_\_\_\_ 4 \_\_\_\_\_ について、国際調査報告が作成されていない。

2. ヌクレオチド又はアミノ酸の配列表が実施細則の附属書C（塩基配列又はアミノ酸配列を含む明細書等の作成のためのガイドライン）に定める基準を満たしていないので、有効な国際予備審査をすることができない。

書面による配列表が提出されていない又は所定の基準を満たしていない。

フレキシブルディスクによる配列表が提出されていない又は所定の基準を満たしていない。



## V. 新規性、進歩性又は産業上の利用可能性についての法第12条（PCT35条(2)）に定める見解、それを裏付ける文献及び説明

## 1. 見解

新規性 (N)

請求の範囲

有

請求の範囲

1-3, 5

無

進歩性 (I'S)

請求の範囲

有

請求の範囲

1-3, 5

無

産業上の利用可能性 (I'A)

請求の範囲

有

請求の範囲

1-3, 5

無

## 2. 文献及び説明 (PCT規則70.7)

## 1) 請求の範囲 1-3, 5 は、国際調査報告で引用された文献

1. JP, 2613355, B2
2. JP, 2613352, B2
3. JP, 6-239862, A

に記載されているので新規性及び進歩性を有しない。

文献1及び2には、本願の請求の範囲3に記載された化合物を有効成分とするパーキンソン氏病治療剤が記載されている。

文献3には、本願の請求の範囲3に記載された化合物は、パーキンソン氏病を再現するモデルとして知られているハロペリドール誘発カタレプシーに対して、抑制作用を示したことが記載されている。

ここで、文献1～3にも記載されているように、パーキンソン氏病は黒質一線条体系ドパミン神経の変性・細胞死に基づく疾患であることから、パーキンソン氏病は神経変性疾患の一つであると認められる。

してみると、文献1～3には、本願の請求の範囲3に記載された化合物を有効成分とする神経変性疾患治療剤に係る発明、及び、神経変性疾患治療剤を製造するための、本願の請求の範囲3に記載された化合物の使用に係る発明が記載されていると認められる。

## 2) 請求の範囲 1, 2, 5 は、国際調査報告で引用された文献

4. JP, 6-47539, B2

に記載されているので新規性及び進歩性を有しない。

文献4には、1, 3, 7-トリメチル-8-[3-(4-ジメチルアミノカルボニルピペラジノ)プロピル]キサンチンを有効成分とするアルツハイマー病治療用医薬品組成物が記載されており、1, 3, 7-トリメチル-8-[3-(4-ジメチルアミノカルボニルピペラジノ)プロピル]キサンチンは、本願の請求の範囲2に記載の化合物に包含される。

本願明細書第9頁第13～17行には、神経変性疾患としてアルツハイマー病が例示されている。

してみると、文献4には、本願の請求の範囲2に記載された化合物を有効成分とする神経変性疾患治療剤に係る発明、及び、神経変性疾患治療剤を製造するための、本願の請求の範囲2に記載された化合物の使用に係る発明が記載されていると認められる。



補充欄（いずれかの欄の大きさが足りない場合に使用すること）

第 V 欄の続き

3) 請求の範囲 1, 2, 5 は、国際調査報告で引用された文献

5. U.S. 4 7 7 2 6 0 7, A  
により進歩性を有しない。

文献 5 には、1 位と 3 位に低級アルケニルを有し、8 位にシクロアルキルを有する化合物がアルツハイマー病の治療に用いることができる事が示唆されている。

そこで、薬理試験等を行うことにより、1 位と 3 位に低級アルケニルを有し、8 位にシクロアルキルを有する化合物がアルツハイマー病の治療に有効であることを確認することは、当業者であれば容易に成し得たことと認められる。

そして、本願の請求の範囲 1, 2, 5 に係る発明において奏せられる効果についても、文献 5 の記載から当業者が予測できない程の格別顕著な効果が奏せられているとも認められない。

